L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1177949 CAPLUS Full-text
DN 143:434728
TI Methods for detecting Lp-PLA2 activity and inhibition of Lp-PLA2 activity
IN Shou, Yaping; Siu, Yin-Fai; Walker, George T.
PA USA
SO U.S. Pat. Appl. Publ., 26 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005244913	A1	20051103	US 2005-106239	20050414
WO 2005113797	A2	20051201	WO 2005-US12948	20050414

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

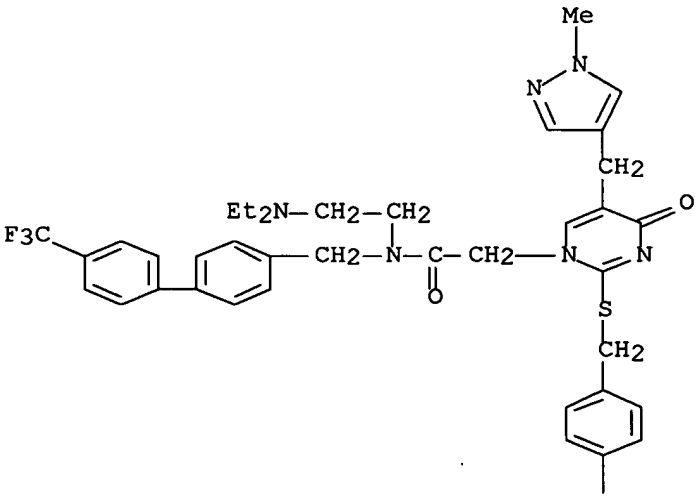
PRAI US 2004-563078P P 20040416

AB The invention discloses methods for determining the activity of Lp-PLA2 (PAF acetylhydrolase) in at least one sample from an animal. The invention also discloses methods for determining the inhibition of Lp-PLA2 activity in samples from animals that are administered an Lp-PLA2 inhibitor.

IT **304694-39-1**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(methods for detecting Lp-PLA2 activity and inhibition of Lp-PLA2 activity)

RN 304694-39-1 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

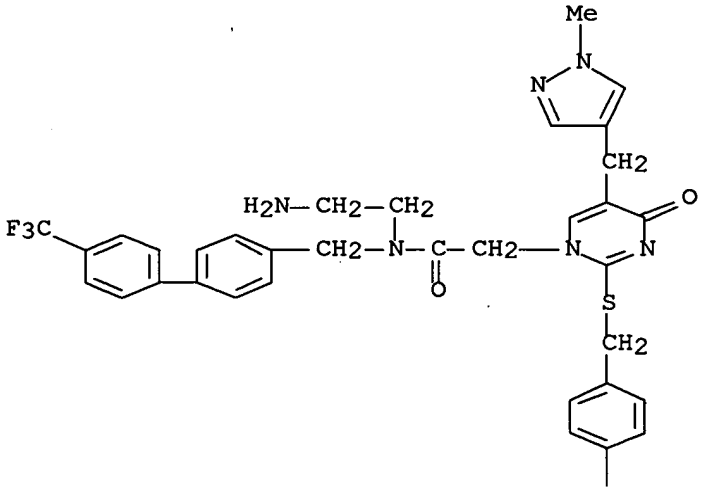


PAGE 2-A

F

L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:215748 CAPLUS Full-text
DN 139:78433
TI The identification of clinical candidate SB-480848: a potent inhibitor of lipoprotein-associated phospholipase A2
AU Blackie, Josie A.; Bloomer, Jackie C.; Brown, Murray J. B.; Cheng, Hung-Yuan; Hammond, Beverley; Hickey, Deirdre M. B.; Ife, Robert J.; Leach, Colin A.; Lewis, V. Ann; Macphee, Colin H.; Milliner, Kevin J.; Moores, Kitty E.; Pinto, Ivan L.; Smith, Stephen A.; Stansfield, Ian G.; Stanway, Steven J.; Taylor, Maxine A.; Theobald, Colin J.
CS Medicines Research Centre, GlaxoSmithKline, Stevenage, SG1 2NY, UK
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(6), 1067-1070
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 139:78433
AB Modification of the pyrimidone 5-substituent in clin. candidate SB-435495 has given a series of inhibitors of recombinant lipoprotein-associated phospholipase A2 with sub-nanomolar potency. Cyclopentyl fused derivative 21, SB-480848, showed an enhanced in vitro and in vivo profile vs. SB-435495 and has been selected for progression to man.
IT **552857-42-8P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design and structure activity of lipoprotein-associated phospholipase A2 inhibitor SB-480848)
RN 552857-42-8 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-(2-aminoethyl)-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

F

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:154252 CAPLUS Full-text
DN 138:205073
TI Preparation of 2,5-substituted 1-(aminocarbonylalkyl)-pyrimidin-4-ones with Lp-PLA2 inhibitory activity for the treatment of atherosclerosis
IN Elliott, Richard Leonard; Leach, Colin Andrew; Smith, Stephen Allan
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003015786	A1	20030227	WO 2002-EP9068	20020813

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

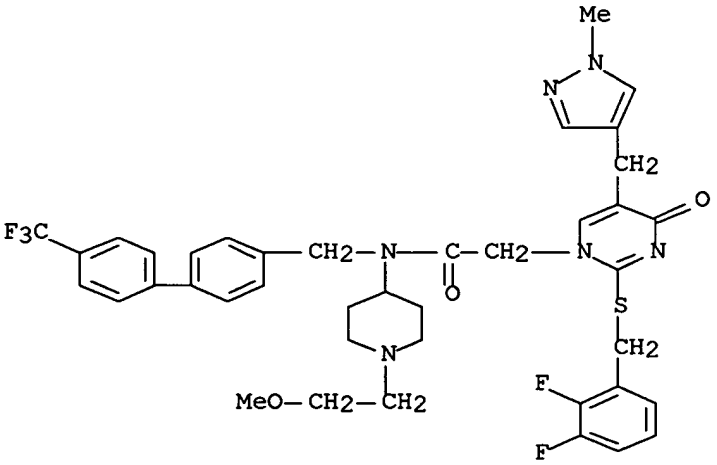
PRAI GB 2001-19793 A 20010814
OS MARPAT 138:205073
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1, R2 = (un)substituted (hetero)aryl; R3 = Het(alkyl) (wherein Het = (un)substituted 5-7 membered heterocyclyl, bonded directly through a ring carbon atom, comprising N atom and optionally O or S); R4 = (un)substituted (hetero)aryl; R5 = (un)substituted aryl; n = 1-4; X = O, S; Z = CR13R14 (R13, R14 = H, alkyl; or R13 and R14 together with the intervening carbon atom form cycloalkyl)] which are inhibitors of lipoprotein-associated phospholipase A2 (Lp-PLA2) and are of use in therapy, in particular for treating atherosclerosis, were prepared Thus, amidation of N-[1-(2-methoxyethyl)piperidin-4-yl]-4-(4- trifluoromethylphenyl)benzylamine with 1-(carboxymethyl)-2-(2,3- difluorobenzylthio)-5-[(1-methylpyrazol-4-yl)methyl]pyrimidin-4-one (prepns. given) in the presence of HATU and (iso-Pr)2NH in DMF afforded II. The compds. I described in the examples were tested for Lp-PLA2 inhibition and demonstrated IC50 values in the range 1 to 0.01 nM.

IT **500132-05-8P 500132-06-9P 500132-08-1P**
500132-09-2P 500132-13-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,5-substituted 1-(aminocarbonylalkyl)-pyrimidin-4-ones with
Lp-PLA2 inhibitory activity for the treatment of atherosclerosis)
RN 500132-05-8 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(2,3-difluorophenyl)methyl]thio]-N-[1-(2-methoxyethyl)-4-piperidinyl]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-

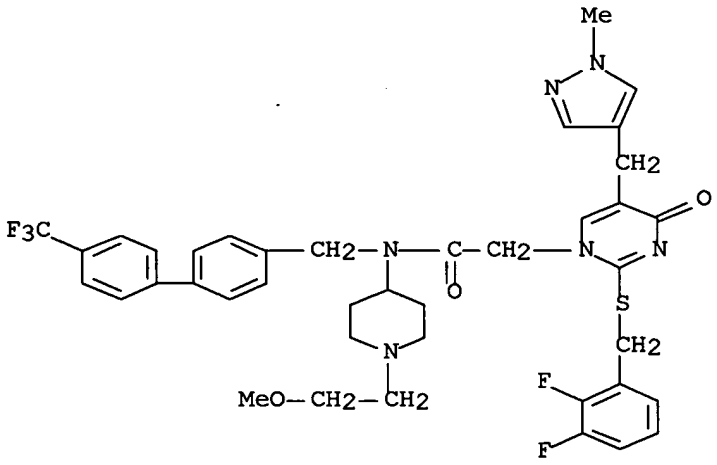
[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



RN 500132-06-9 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(2,3-difluorophenyl)methyl]thio]-N-[1-(2-methoxyethyl)-4-piperidinyl]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

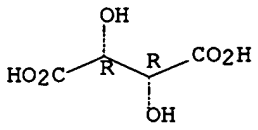
CRN 500132-05-8
CMF C40 H41 F5 N6 O3 S



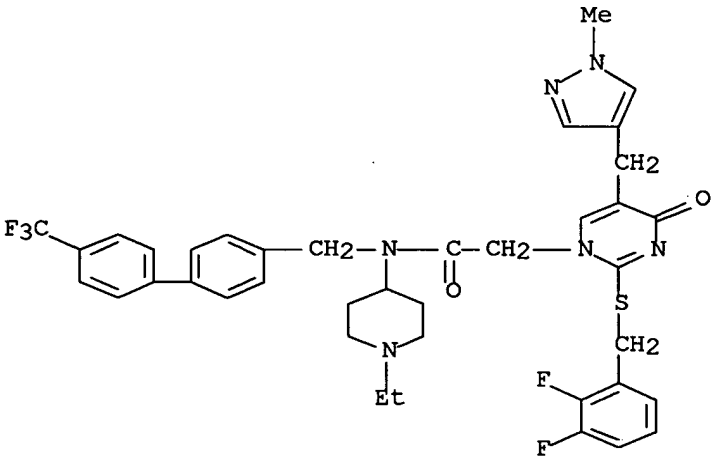
CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



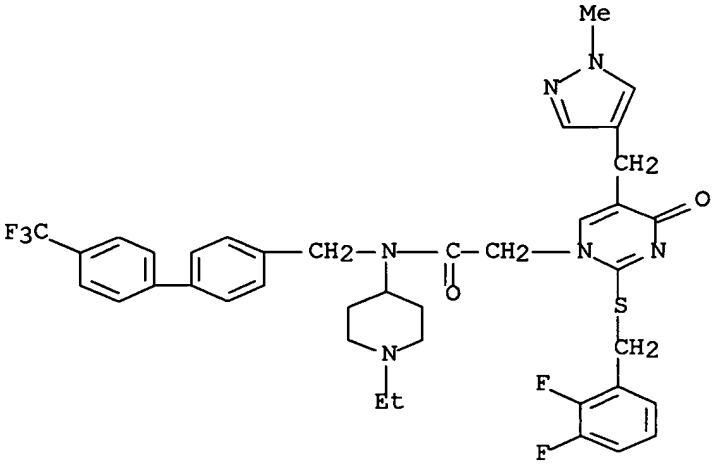
RN 500132-08-1 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(2,3-difluorophenyl)methyl]thio]-N-(1-ethyl-4-piperidinyl)-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



RN 500132-09-2 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(2,3-difluorophenyl)methyl]thio]-N-(1-ethyl-4-piperidinyl)-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

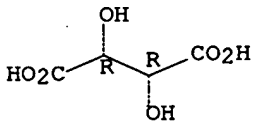
CRN 500132-08-1
CMF C39 H39 F5 N6 O2 S



CM 2

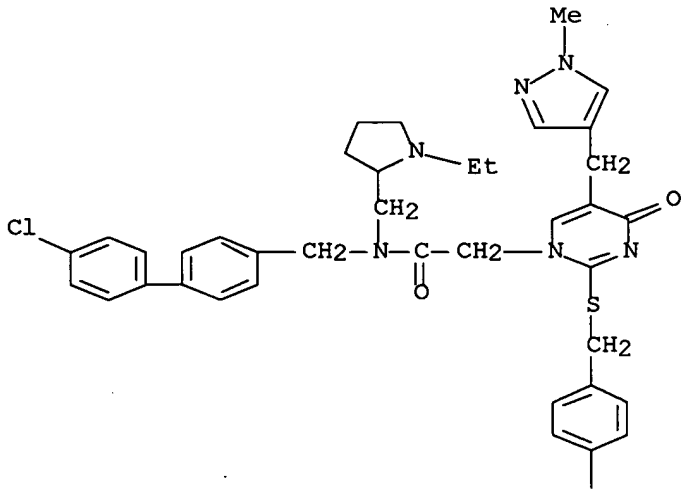
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



RN 500132-13-8 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[(1-ethyl-2-pyrrolidinyl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

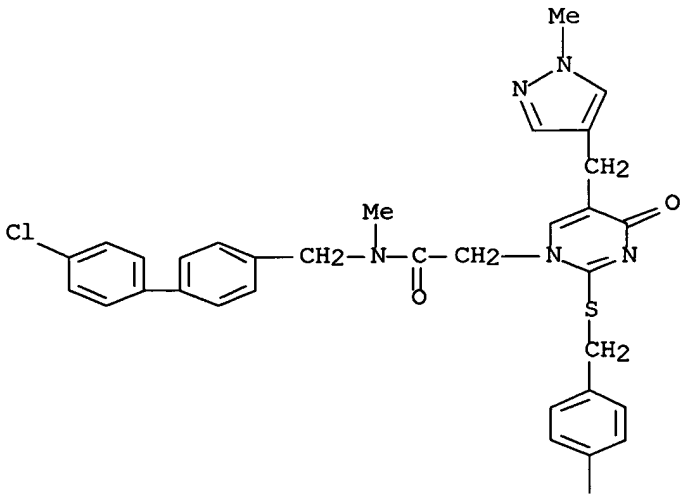


PAGE 2-A

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:641070 CAPLUS Full-text
DN 138:280725
TI The discovery of SB-435495: A potent, orally active inhibitor of lipoprotein-associated phospholipase A2 for evaluation in man
AU Blackie, Josie A.; Bloomer, Jackie C.; Brown, Murray J. B.; Cheng, Hung-Yuan; Elliott, Richard L.; Hammond, Beverley; Hickey, Deirdre M. B.; Ife, Robert J.; Leach, Colin A.; Lewis, V. Ann; Macphee, Colin H.; Milliner, Kevin J.; Moores, Kitty E.; Pinto, Ivan L.; Smith, Stephen A.; Stansfield, Ian G.; Stanway, Steven J.; Taylor, Maxine A.; Theobald, Colin J.; Whittaker, Caroline M.
CS GlaxoSmithKline, Medicines Research Centre, Stevenage, SG1 2NY, UK
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(18), 2603-2606
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB The introduction of a functionalized amido substituent into a series of 1-(biphenylmethylacetamido)-pyrimidones has given a series of inhibitors of recombinant lipoprotein-associated phospholipase A2 with sub-nanomolar potency and very encouraging developability properties. Diethylaminoethyl derivative, SB-435495, was selected for progression to man.
IT **304694-18-6P 304694-31-3P 304694-39-1P**, SB 435495 **304694-66-4P 304694-68-6P 304694-69-7P 503534-59-6P 503534-60-9P 503534-61-0P 503534-62-1P 503534-63-2P 503534-64-3P 503534-65-4P 503534-66-5P 503534-67-6P 503534-68-7P 503534-69-8P 503534-70-1P 503534-71-2P 503534-72-3P 503534-73-4P 503534-74-5P 503534-75-6P 503534-76-7P 503534-77-8P 503534-78-9P 503534-79-0P 503534-80-3P 503534-81-4P 503534-82-5P 503534-83-6P 503534-84-7P 503534-85-8P 503534-86-9P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyrimidone SB-435495 as a lipoprotein-associated phospholipase A2 inhibitor)
RN 304694-18-6 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

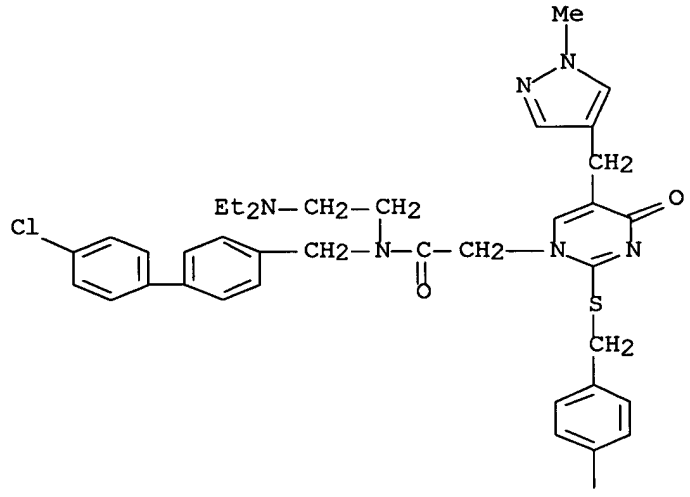
PAGE 1-A



PAGE 2-A

RN 304694-31-3 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

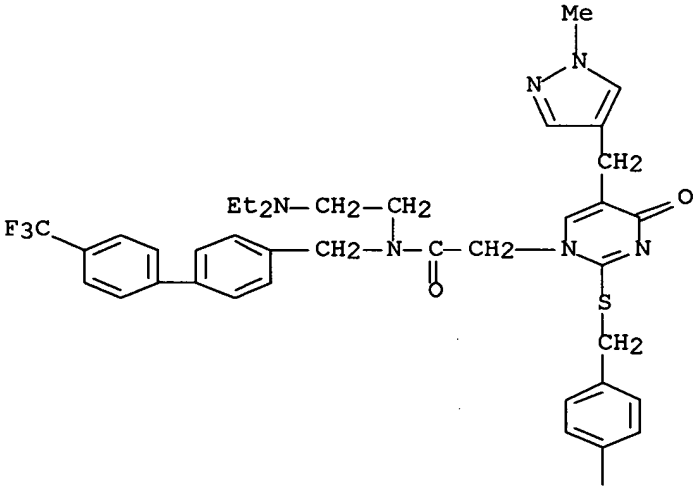


PAGE 2-A

F

RN 304694-39-1 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

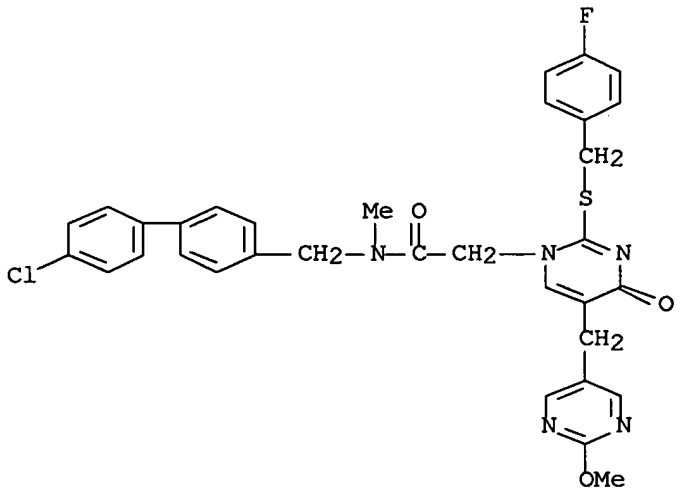
PAGE 1-A



PAGE 2-A

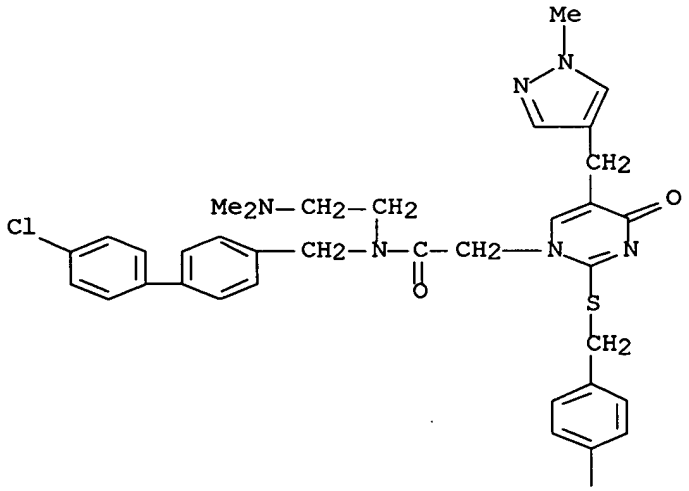
F

RN 304694-66-4 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-N-methyl-4-oxo- (9CI) (CA INDEX NAME)



RN 304694-68-6 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(dimethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

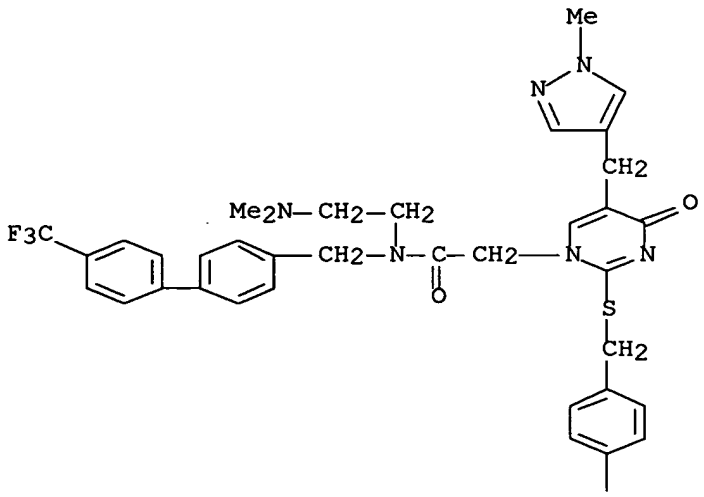


PAGE 2-A

RN 304694-69-7 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-(dimethylamino)ethyl]-2-[[(4-

fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

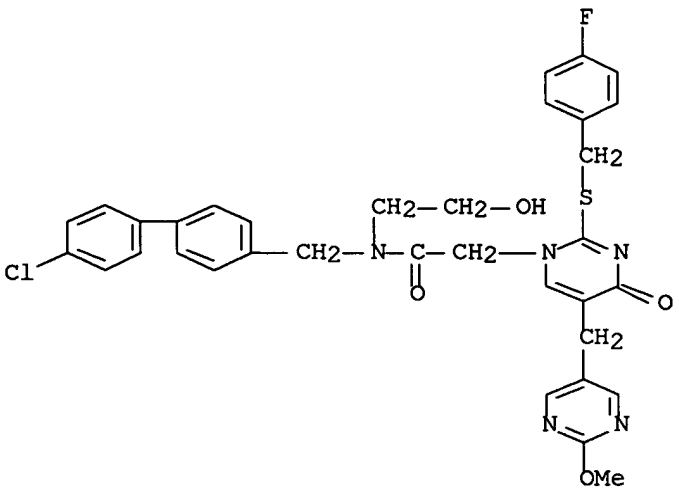
PAGE 1-A



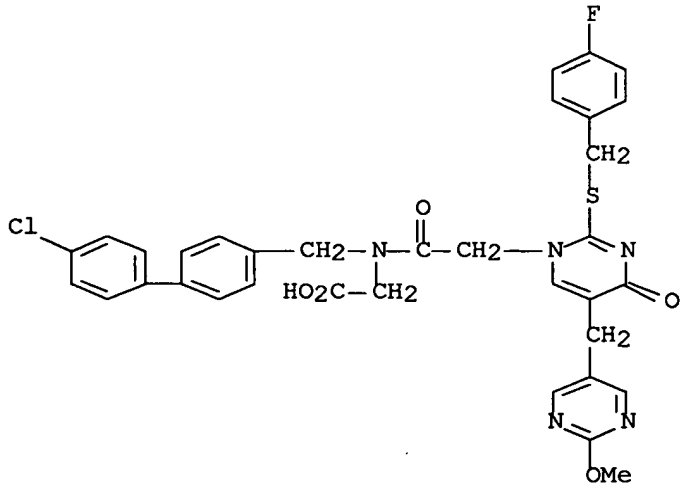
PAGE 2-A

RN 503534-59-6 CAPLUS

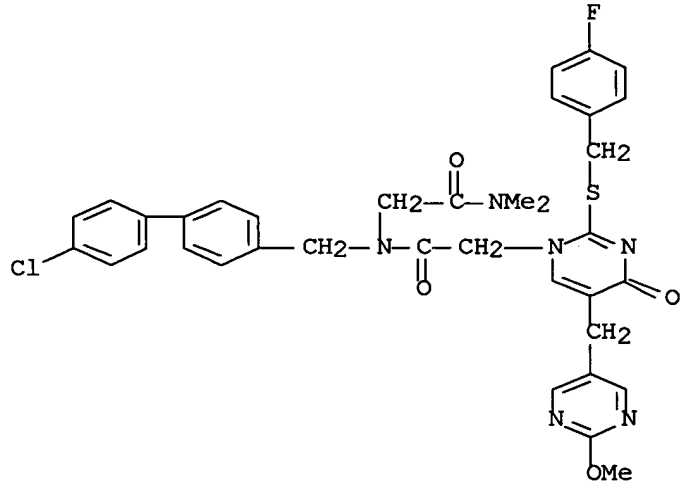
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-(2-hydroxyethyl)-5-[(2-methoxy-5-pyrimidinyl)methyl]-4-oxo- (9CI) (CA INDEX NAME)



RN 503534-60-9 CAPLUS
CN Glycine, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[[2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-4-oxo-1(4H)-pyrimidinyl]acetyl]- (9CI) (CA INDEX NAME)

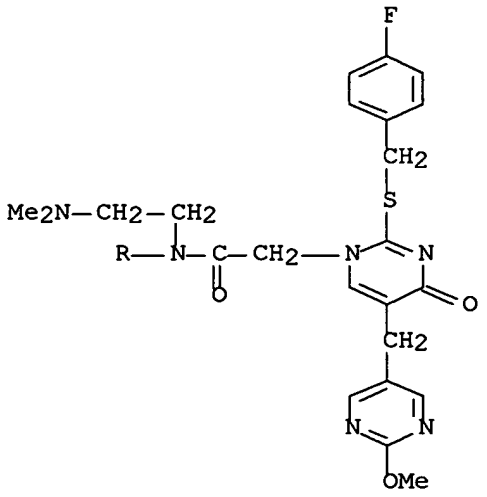


RN 503534-61-0 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(dimethylamino)-2-oxoethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

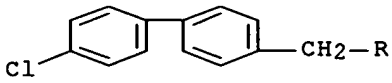


RN 503534-62-1 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(dimethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

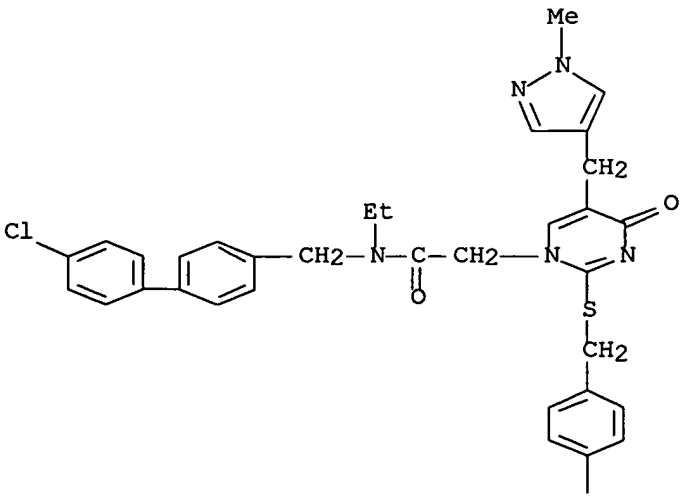


PAGE 2-A



RN 503534-63-2 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-ethyl-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

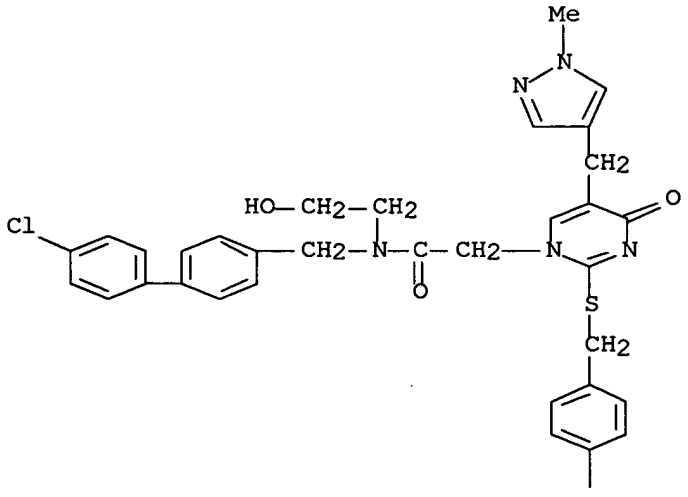


PAGE 2-A

RN 503534-64-3 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-(2-hydroxyethyl)-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

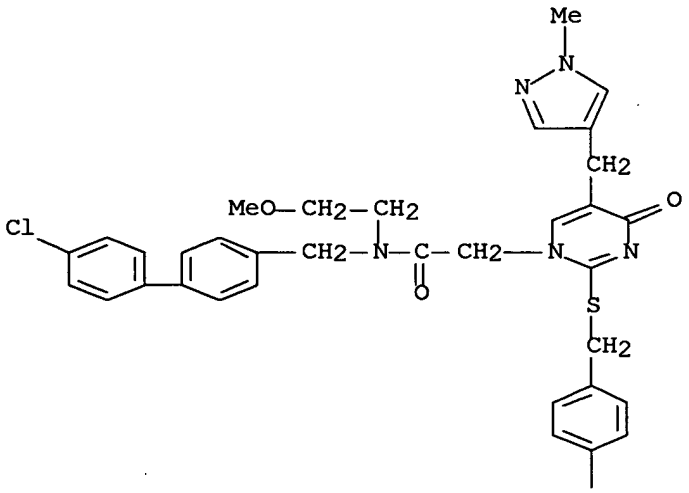


PAGE 2-A

F

RN 503534-65-4 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-(2-methoxyethyl)-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

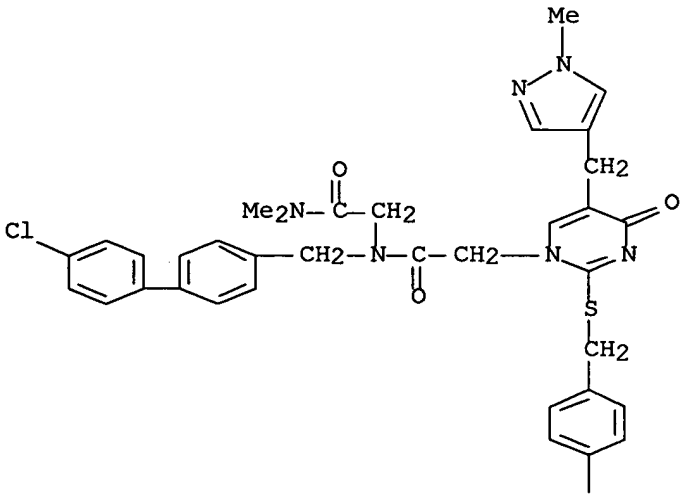


PAGE 2-A

F

RN 503534-66-5 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(dimethylamino)-2-oxoethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



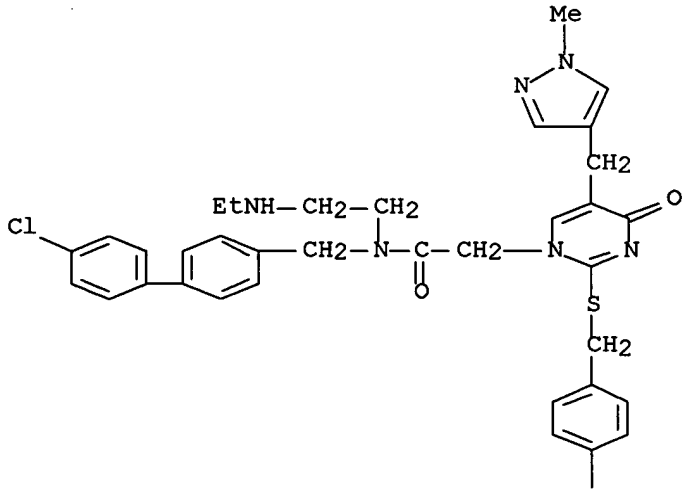
PAGE 2-A

F

RN 503534-67-6 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(ethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

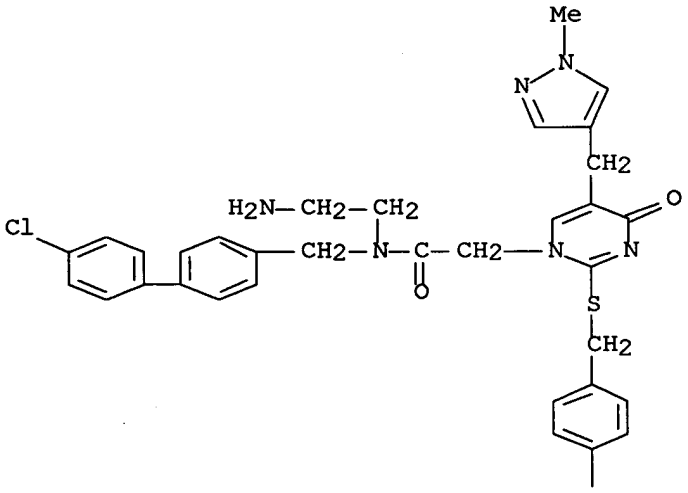


PAGE 2-A

F

RN 503534-68-7 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-(2-aminoethyl)-N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

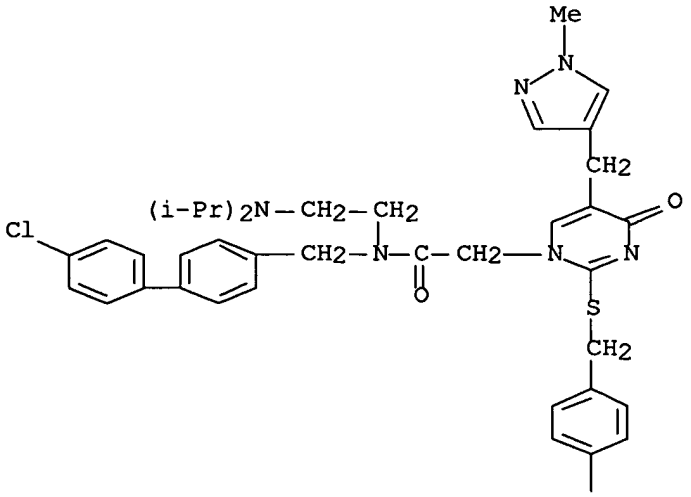


PAGE 2-A

F

RN 503534-69-8 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-[bis(1-methylethyl)amino]ethyl]-N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



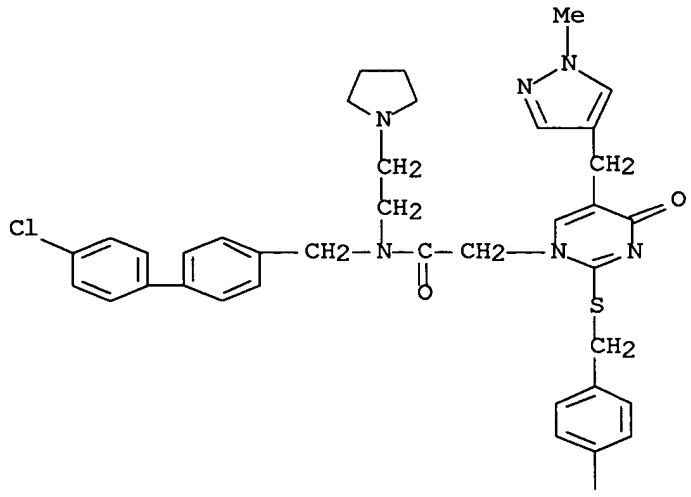
PAGE 2-A

F

RN 503534-70-1 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

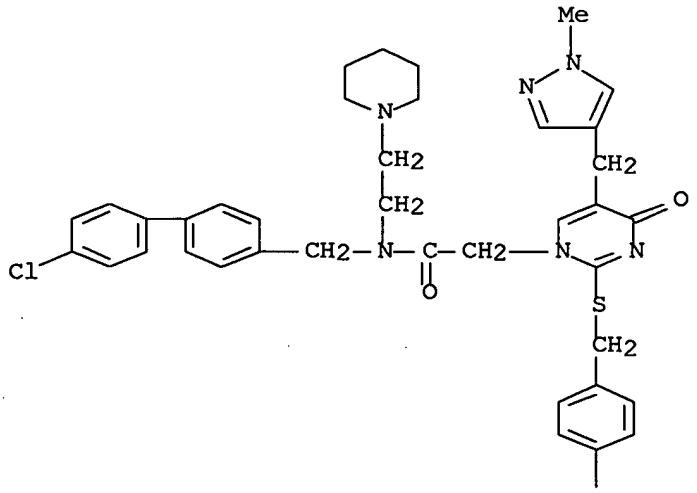


PAGE 2-A

F

RN 503534-71-2 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

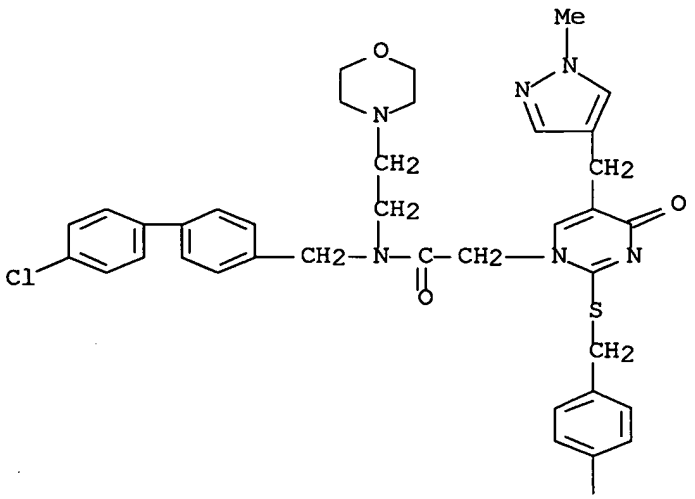


PAGE 2-A

F

RN 503534-72-3 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-N-[2-(4-morpholinyl)ethyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



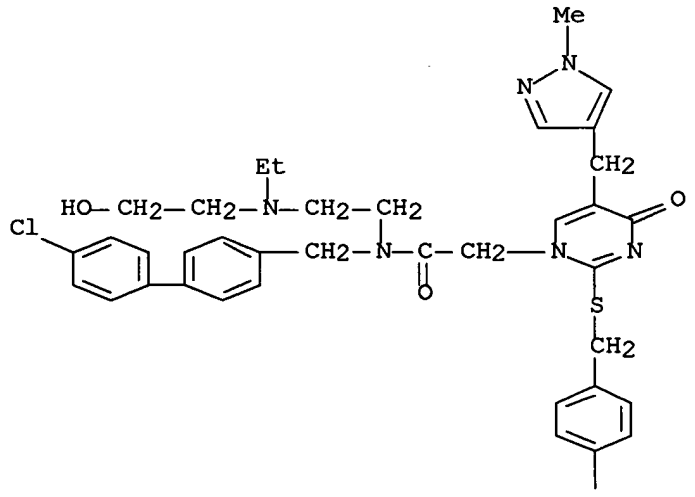
PAGE 2-A

F

RN 503534-73-4 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-[ethyl(2-hydroxyethyl)amino]ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

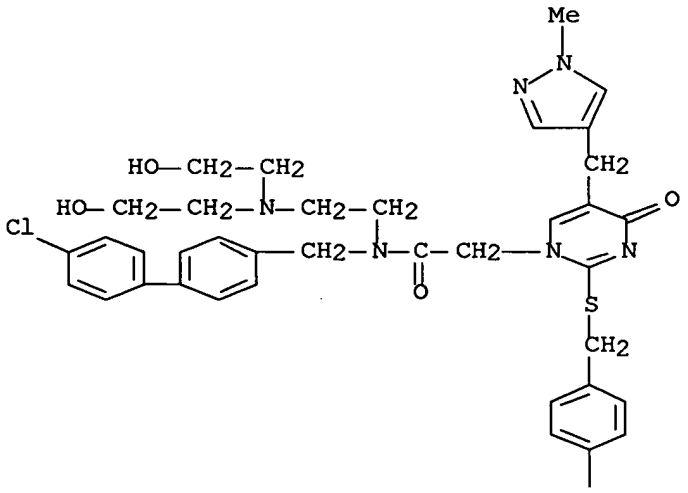


PAGE 2-A

F

RN 503534-74-5 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-[bis(2-hydroxyethyl)amino]ethyl]-N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

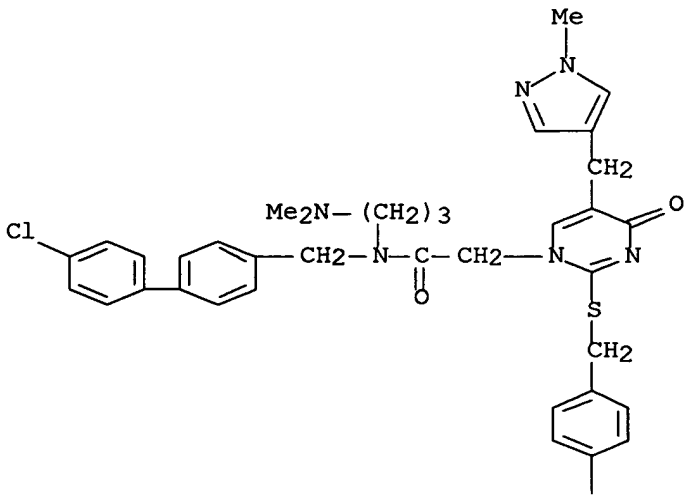


PAGE 2-A

F

RN 503534-75-6 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[3-(dimethylamino)propyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



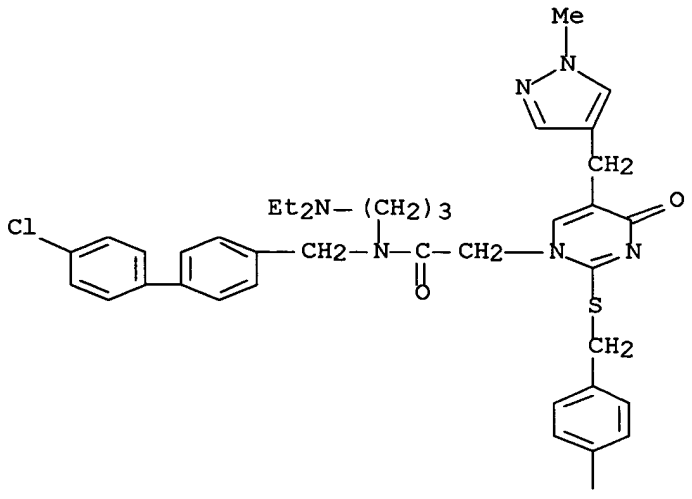
PAGE 2-A

F

RN 503534-76-7 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[3-(diethylamino)propyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

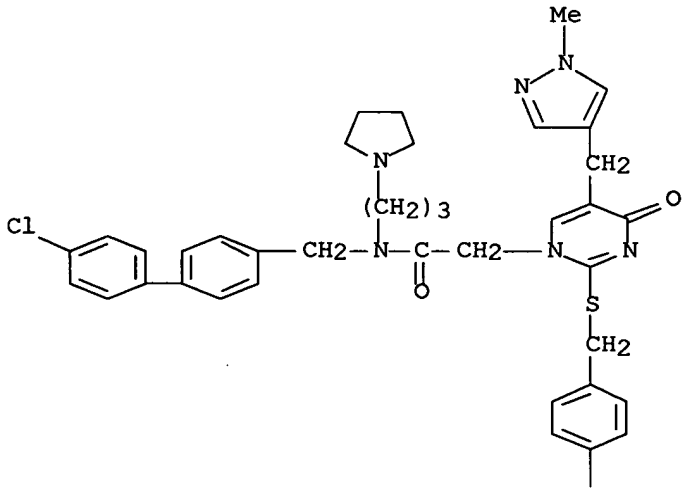


PAGE 2-A

F

RN 503534-77-8 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

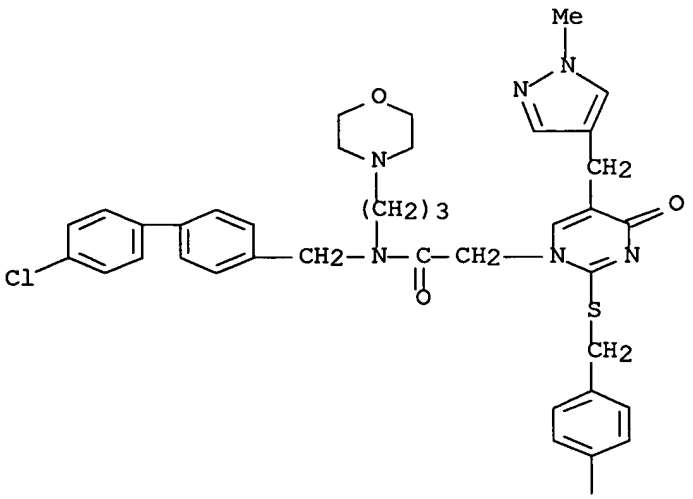


PAGE 2-A

F

RN 503534-78-9 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-N-[3-(4-morpholinyl)propyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



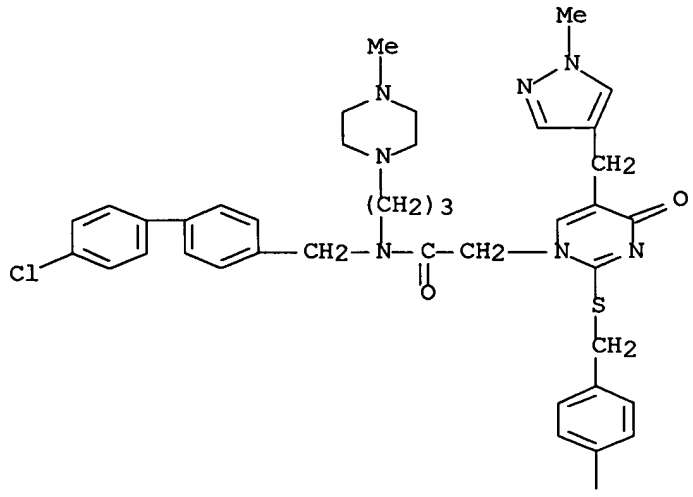
PAGE 2-A

F

RN 503534-79-0 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-[3-(4-methyl-1-piperazinyl)propyl]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

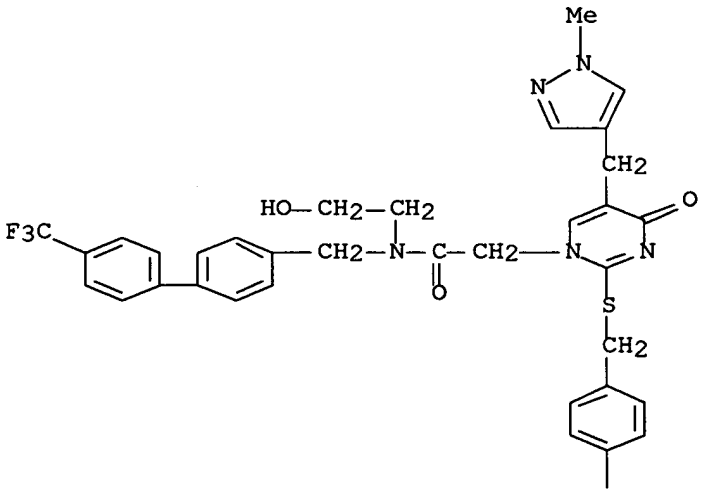


PAGE 2-A

F

RN 503534-80-3 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-N-(2-hydroxyethyl)-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

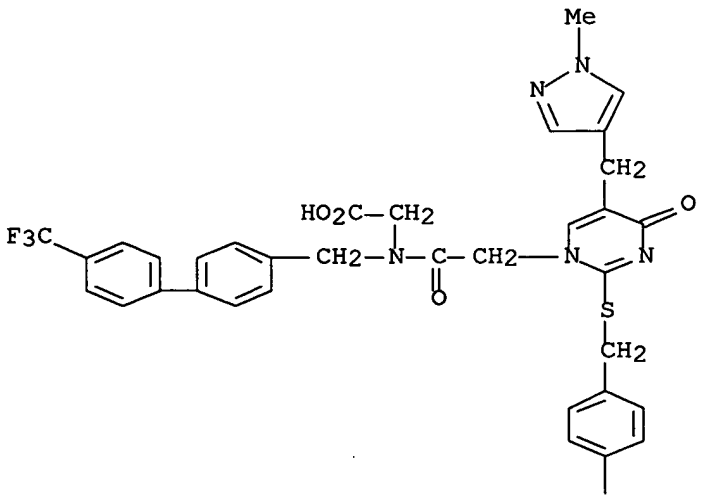


PAGE 2-A

F

RN 503534-81-4 CAPLUS
CN Glycine, N-[[2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-1(4H)-pyrimidinyl]acetyl]-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

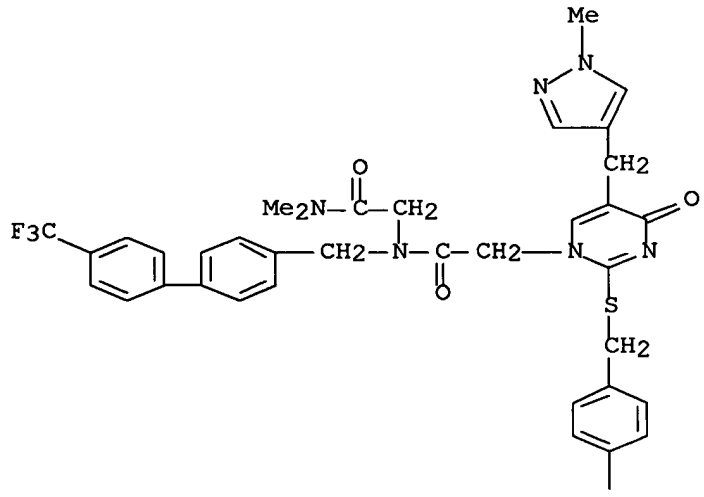


PAGE 2-A

F

RN 503534-82-5 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-(dimethylamino)-2-oxoethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

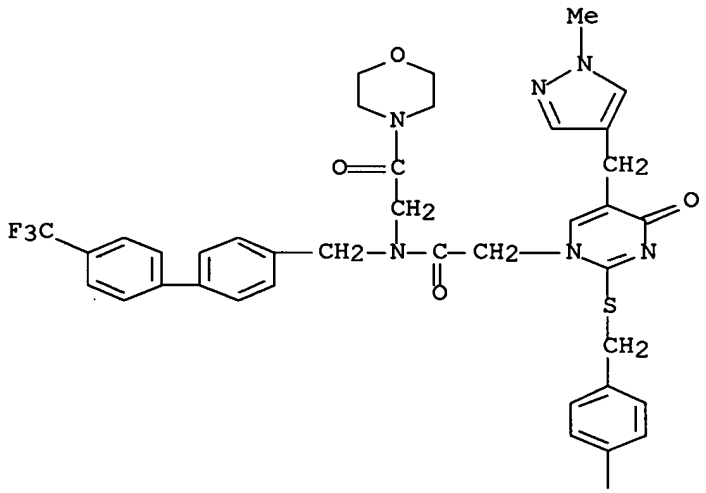


PAGE 2-A

F

RN 503534-83-6 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-N-[2-(4-morpholinyl)-2-oxoethyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

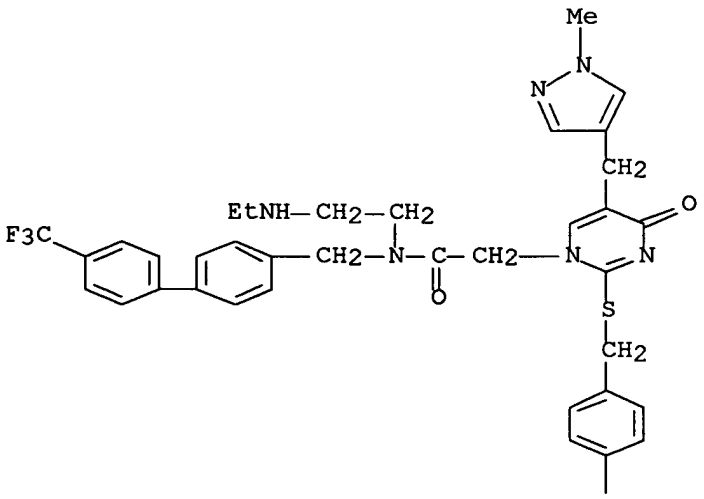


PAGE 2-A

F

RN 503534-84-7 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-(ethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

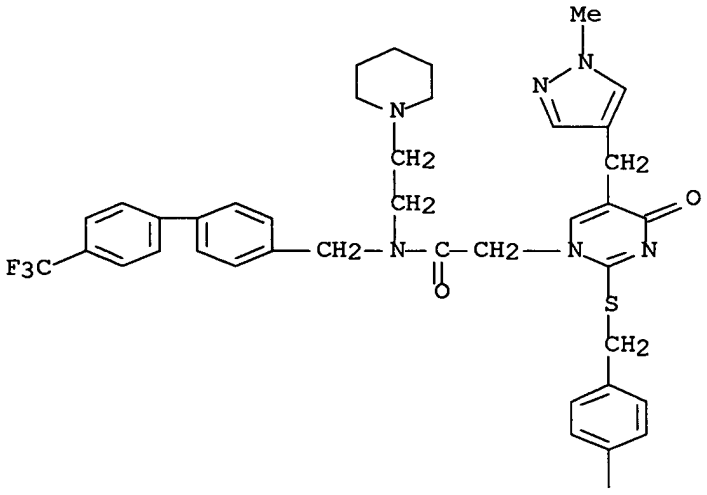


PAGE 2-A

F

RN 503534-85-8 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[2-(1-piperidinyl)ethyl]-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



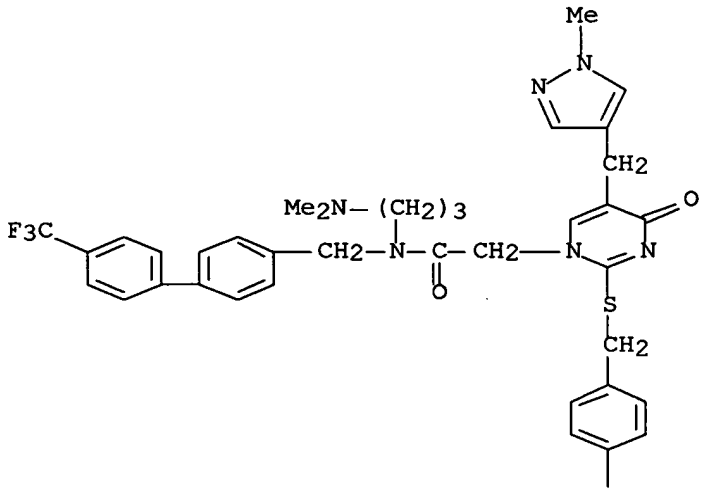
PAGE 2-A

F

RN 503534-86-9 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[3-(dimethylamino)propyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

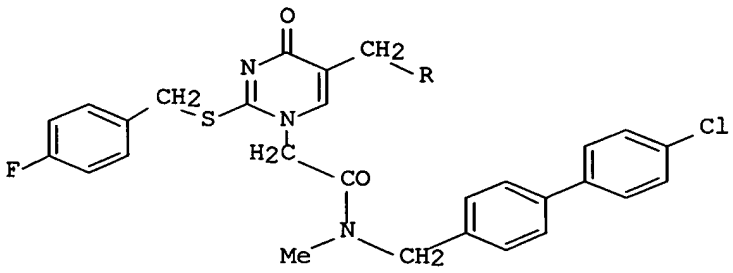


PAGE 2-A

F

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:900066 CAPLUS Full-text
DN 136:256730
TI Potent, orally active inhibitors of lipoprotein-associated phospholipase A2: 1-(biphenylmethylamidoalkyl)-pyrimidones
AU Boyd, Helen F.; Fell, Stephen C. M.; Hickey, Deirdre M. B.; Ife, Robert J.; Leach, Colin A.; MacPhee, Colin H.; Milliner, Kevin J.; Pinto, Ivan L.; Rawlings, D. Anthony; Smith, Stephen A.; Stansfield, Ian G.; Stanway, Steven J.; Theobald, Colin J.; Whittaker, Caroline M.
CS GlaxoSmithKline, Medicines Research Centre, Stevenage, SG1 2NY, UK
SO Bioorganic & Medicinal Chemistry Letters (2001), Volume Date 2002, 12(1), 51-55
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 136:256730
GI



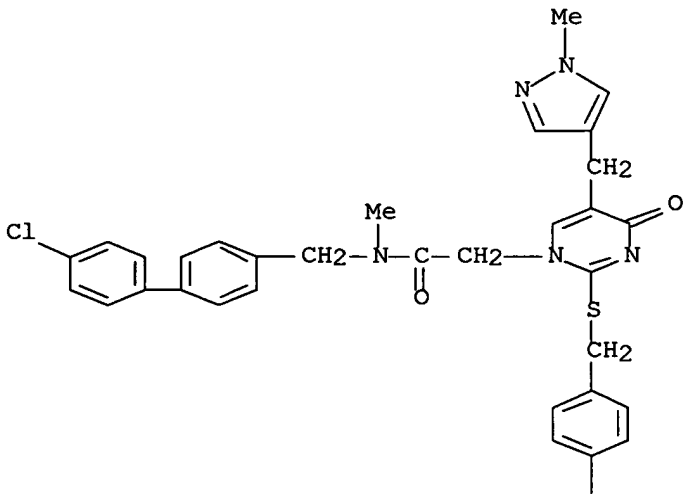
I

AB A series of 1-(biphenylmethylamidoalkyl)-pyrimidones has been designed as nanomolar inhibitors of recombinant lipoprotein-associated phospholipase A2 with high potency in whole human plasma. Two compds. (I, R=1-methylpyrazol-4-yl or 5-(2-methoxypyrimidin-5-yl)) demonstrated excellent pharmacodynamic profiles which correlated well with their pharmacokinetic effects.

IT **304694-18-6P 304694-66-4P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(1-(biphenylmethylamidoalkyl)-pyrimidones as inhibitors of lipoprotein-associated phospholipase A2)

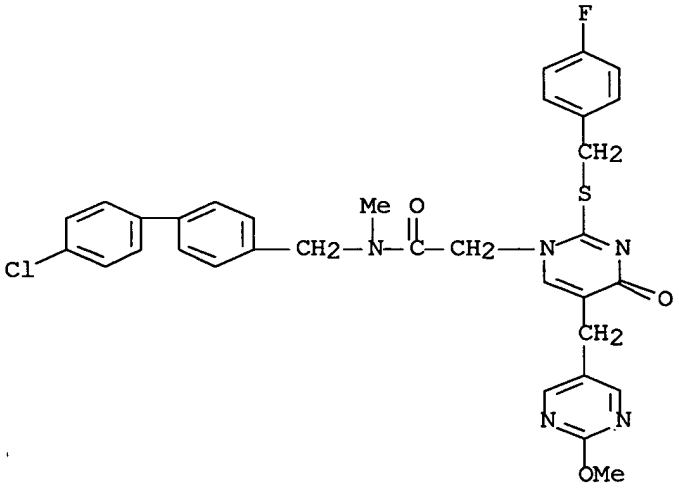
RN 304694-18-6 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

RN 304694-66-4 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-N-methyl-4-oxo- (9CI) (CA INDEX NAME)

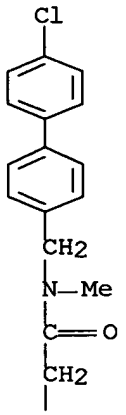


IT **304694-26-6P 304694-64-2P 405139-98-2P 405139-99-3P 405140-00-3P 405140-01-4P**

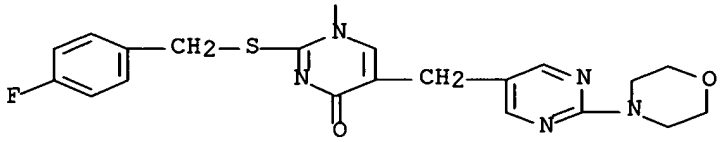
405140-02-5P 405140-03-6P 405140-04-7P
405140-05-8P 405140-06-9P 405140-07-0P
405140-08-1P 405140-09-2P 405140-10-5P
405140-11-6P 405140-12-7P 405140-13-8P
405140-14-9P 405140-15-0P 405140-16-1P
405140-17-2P 405140-18-3P 405140-19-4P
405140-20-7P 405140-21-8P 405140-22-9P
405140-23-0P 405140-24-1P 405140-25-2P
405140-26-3P 405140-27-4P 405140-28-5P
405140-29-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(1-(biphenylmethylamidoalkyl)-pyrimidones as inhibitors of lipoprotein-associated phospholipase A2)

RN 304694-26-6 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[[2-(4-morpholinyl)-5-pyrimidinyl]methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

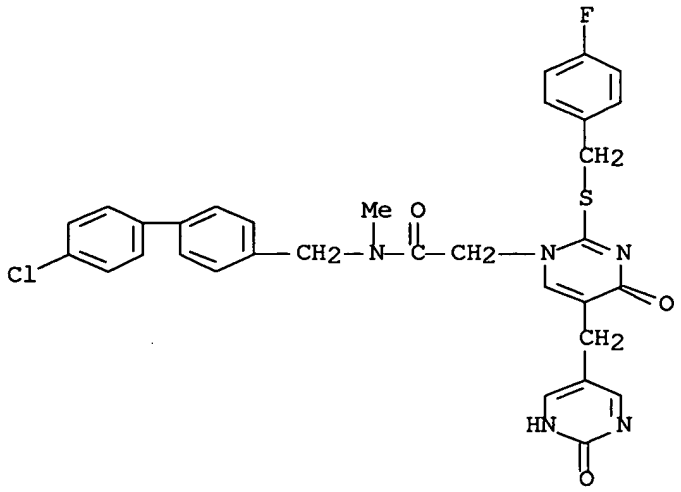


PAGE 2-A

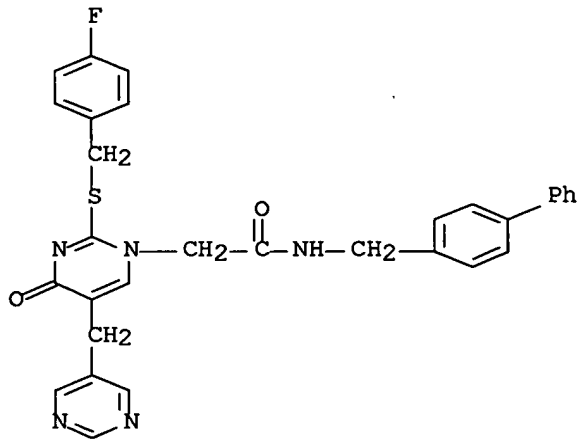


RN 304694-64-2 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-5-[(1,2-dihydro-2-oxo-5-pyrimidinyl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-

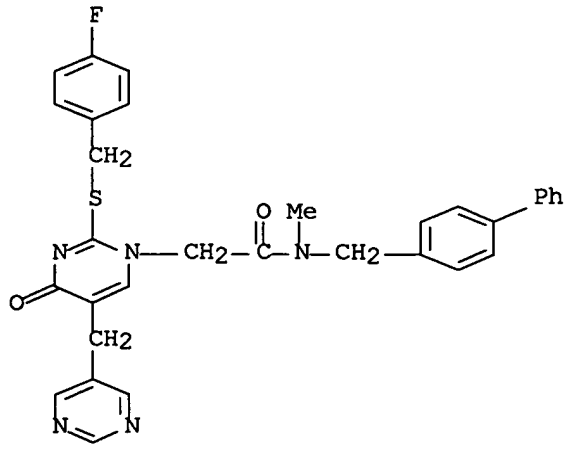
N-methyl-4-oxo- (9CI) (CA INDEX NAME)



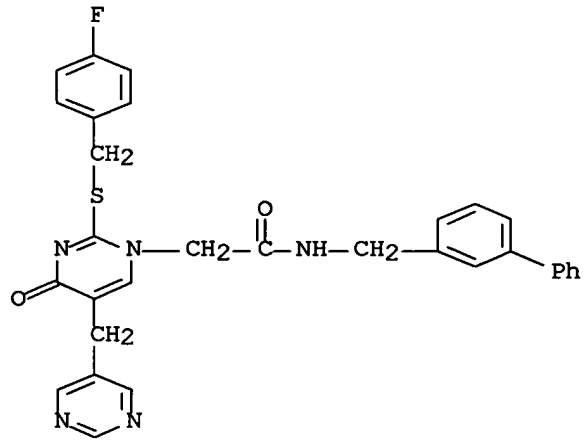
RN 405139-98-2 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-([1,1'-biphenyl]-4-ylmethyl)-2-[[(4-fluorophenyl)methyl]thio]-4-oxo-5-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



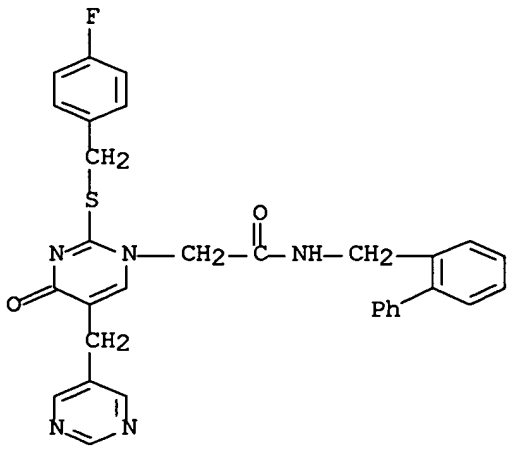
RN 405139-99-3 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-([1,1'-biphenyl]-4-ylmethyl)-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-4-oxo-5-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



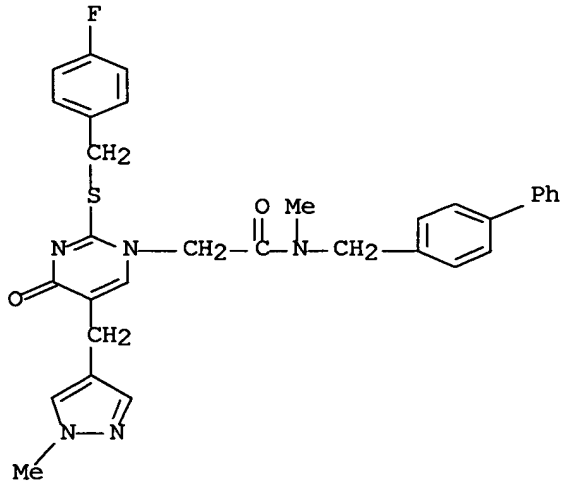
RN 405140-00-3 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-([1,1'-biphenyl]-3-ylmethyl)-2-[[(4-fluorophenyl)methyl]thio]-4-oxo-5-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



RN 405140-01-4 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-([1,1'-biphenyl]-2-ylmethyl)-2-[[(4-fluorophenyl)methyl]thio]-4-oxo-5-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

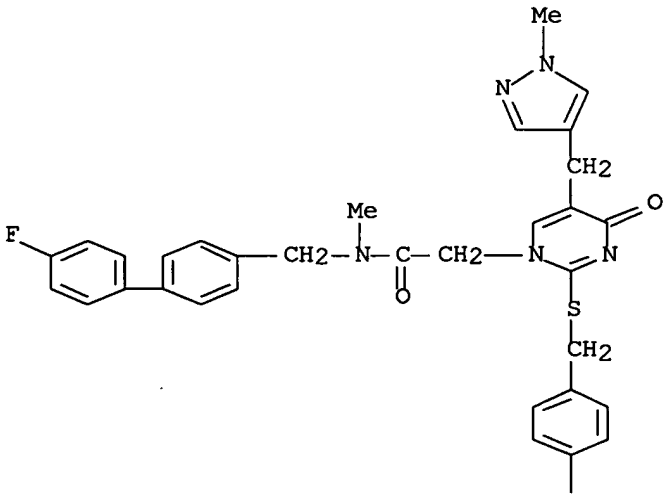


RN 405140-02-5 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-([1,1'-biphenyl]-4-ylmethyl)-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)



RN 405140-03-6 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

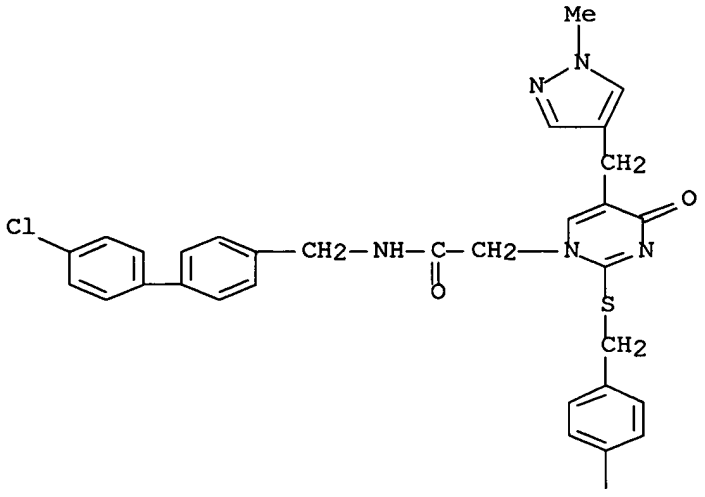


PAGE 2-A

F

RN 405140-04-7 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

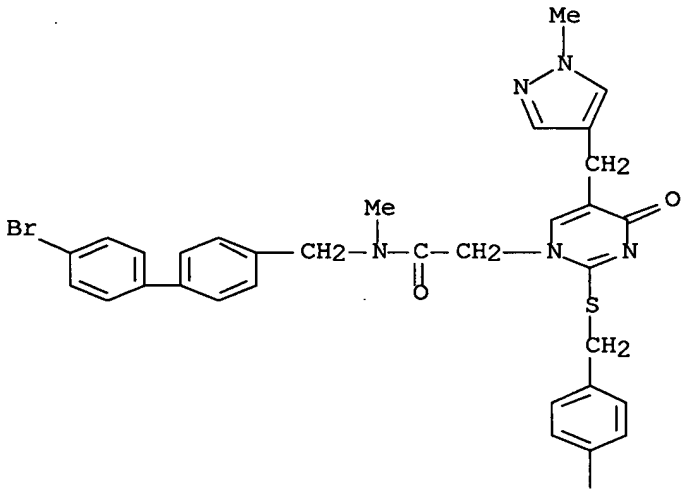


PAGE 2-A

F

RN 405140-05-8 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-bromo[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

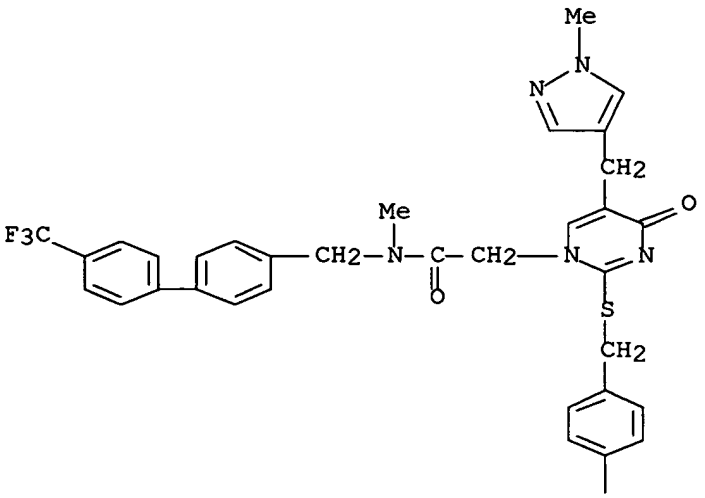


PAGE 2-A

F

RN 405140-06-9 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



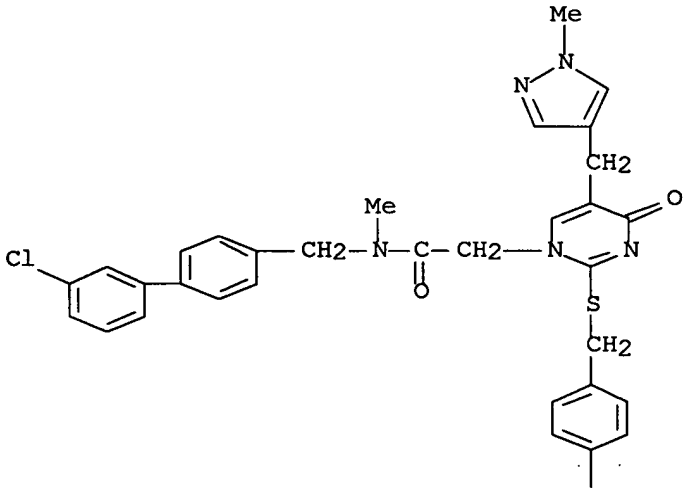
PAGE 2-A

F

RN 405140-07-0 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(3'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

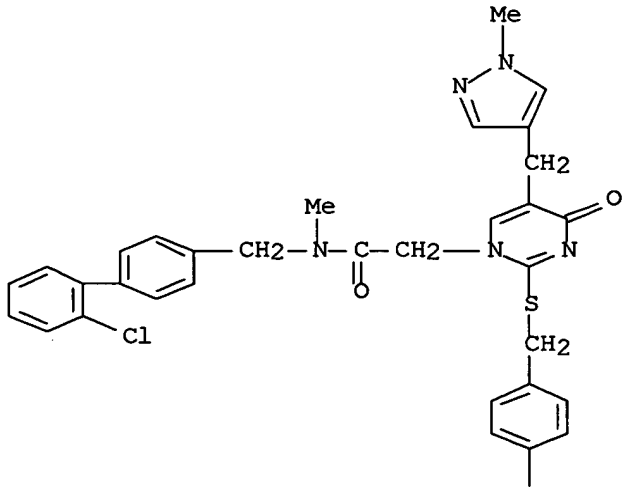


PAGE 2-A

F

RN 405140-08-1 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(2'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

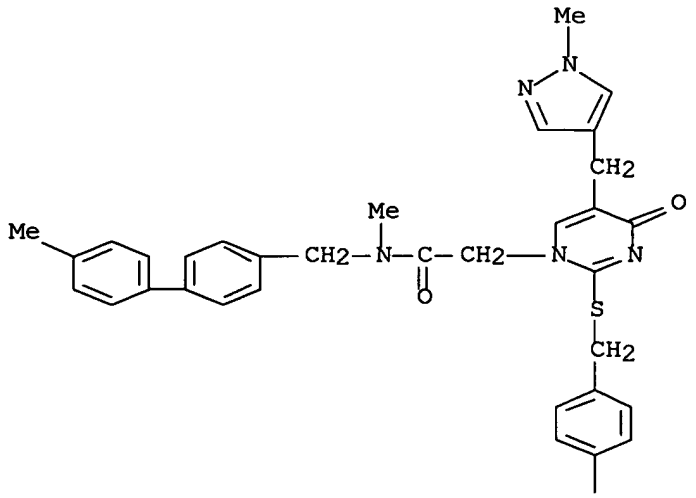


PAGE 2-A

F

RN 405140-09-2 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-N-methyl-N-[(4'-methyl[1,1'-biphenyl]-4-yl)methyl]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

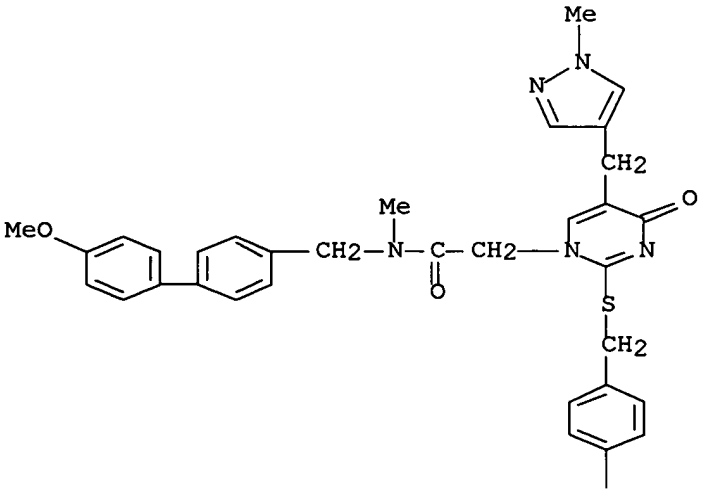
PAGE 1-A



PAGE 2-A

RN 405140-10-5 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-N-[(4'-methoxy[1,1'-biphenyl]-4-yl)methyl]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

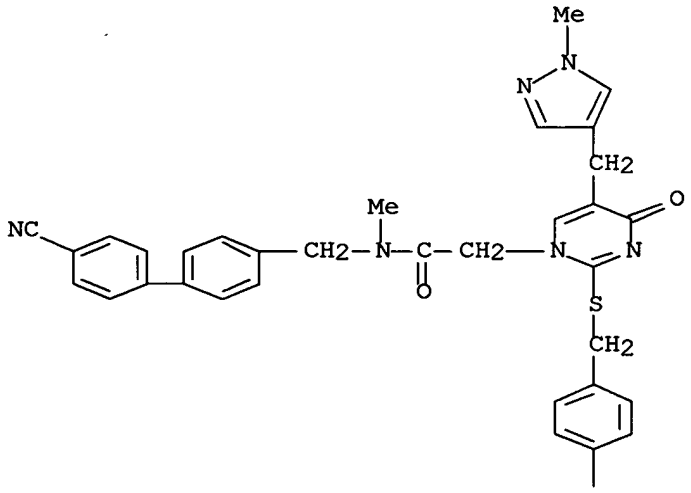


PAGE 2-A

F

RN 405140-11-6 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-cyano[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

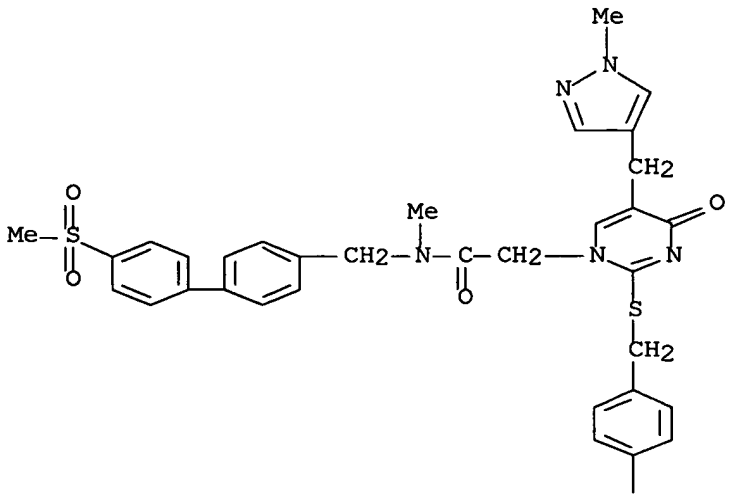


PAGE 2-A

F

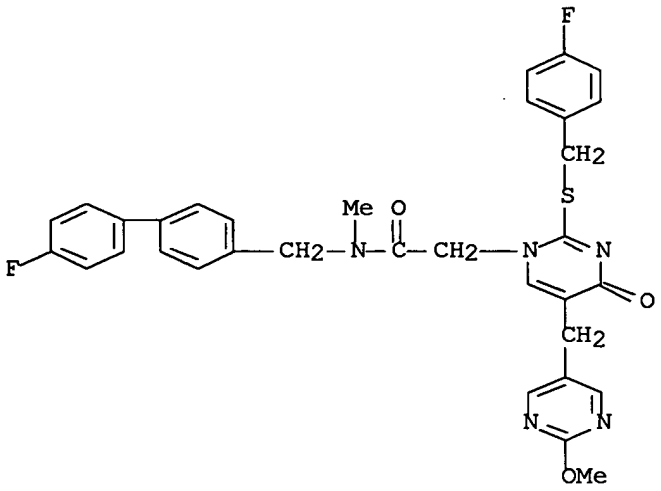
RN 405140-12-7 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-N-[[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



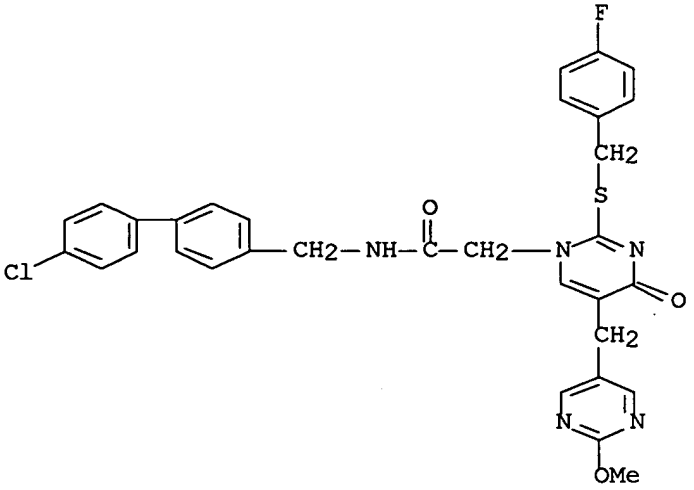
PAGE 2-A

RN 405140-13-8 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-N-methyl-4-oxo- (9CI) (CA INDEX NAME)

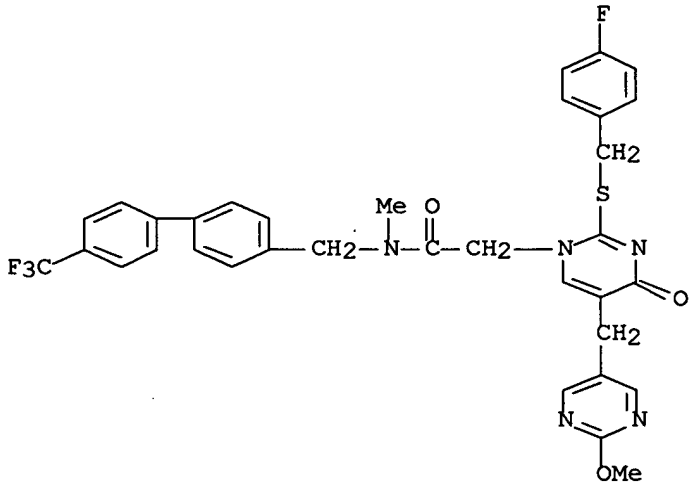


RN 405140-14-9 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-

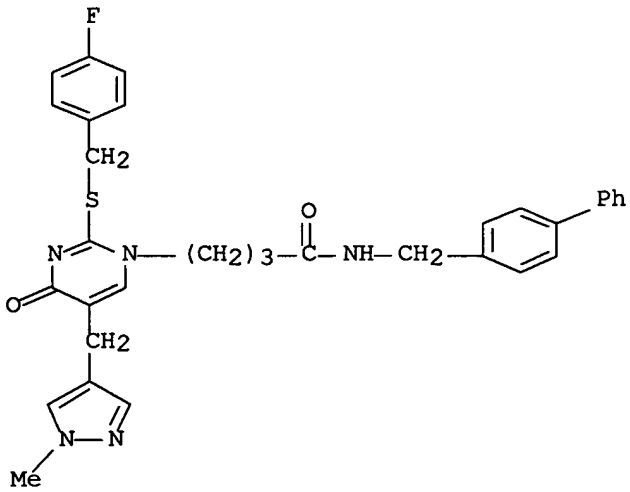
[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-4-oxo- (9CI) (CA INDEX NAME)



RN 405140-15-0 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-N-methyl-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

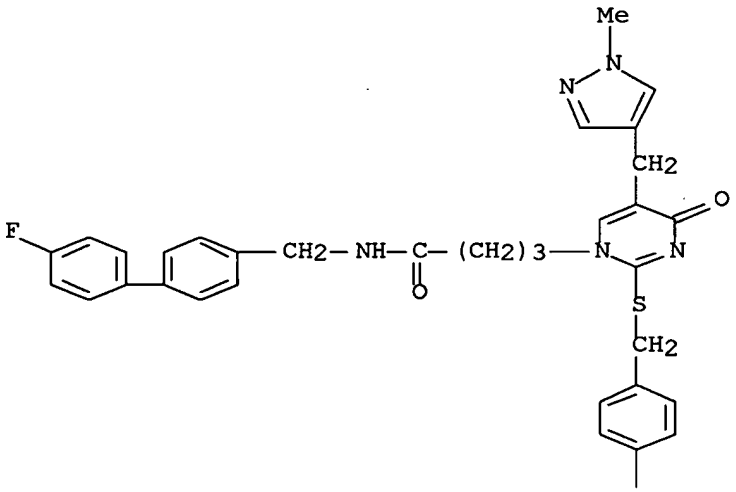


RN 405140-16-1 CAPLUS
CN 1(4H)-Pyrimidinebutanamide, N-([1,1'-biphenyl]-4-ylmethyl)-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)



RN 405140-17-2 CAPLUS
CN 1(4H)-Pyrimidinebutanamide, N-[(4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

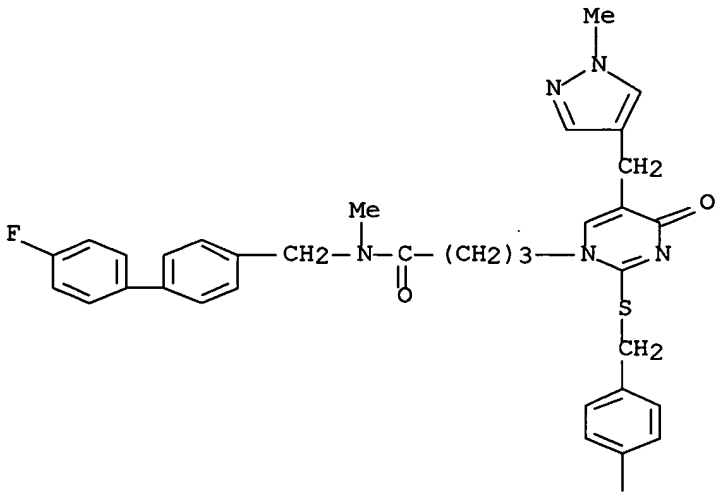


PAGE 2-A

RN 405140-18-3 CAPLUS
CN 1(4H)-Pyrimidinebutanamide, N-[(4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-2-

[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

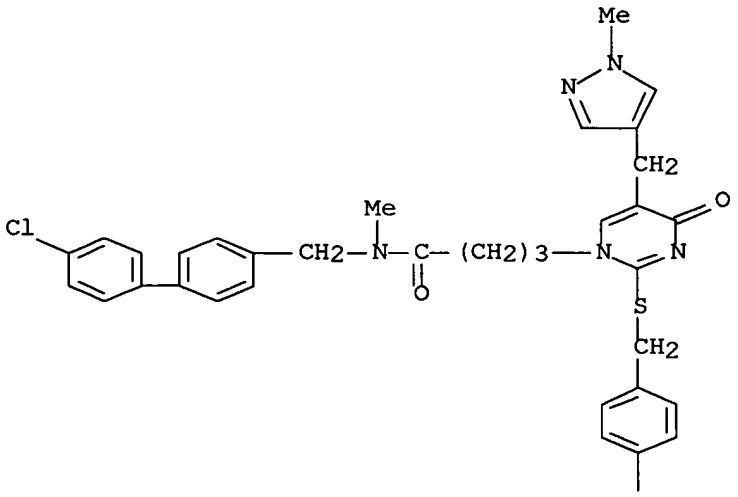


PAGE 2-A

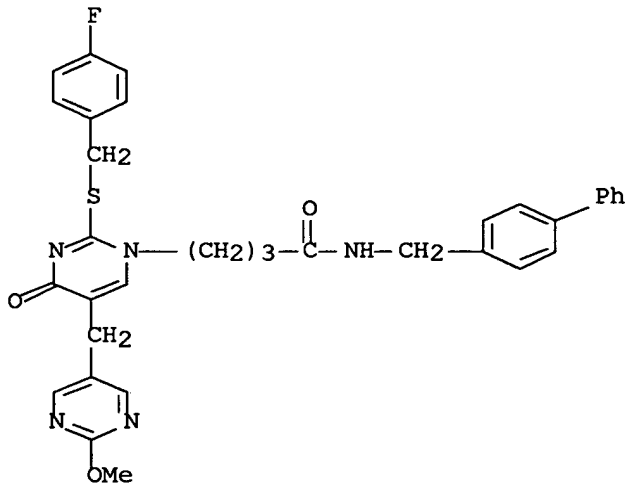
RN 405140-19-4 CAPLUS

CN 1(4H)-Pyrimidinebutanamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

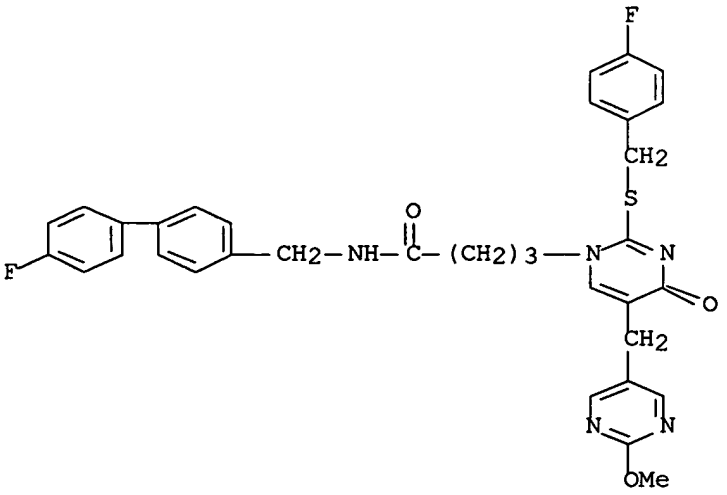
PAGE 1-A



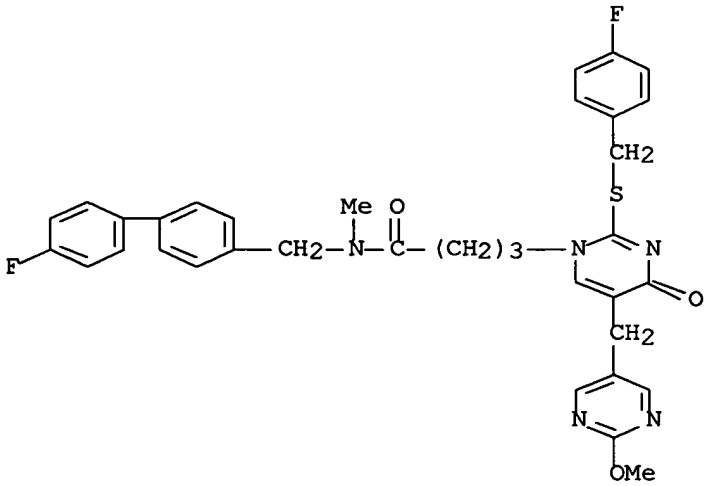
RN 405140-20-7 CAPLUS
CN 1(4H)-Pyrimidinebutanamide, N-([1,1'-biphenyl]-4-ylmethyl)-2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-4-oxo- (9CI) (CA INDEX NAME)



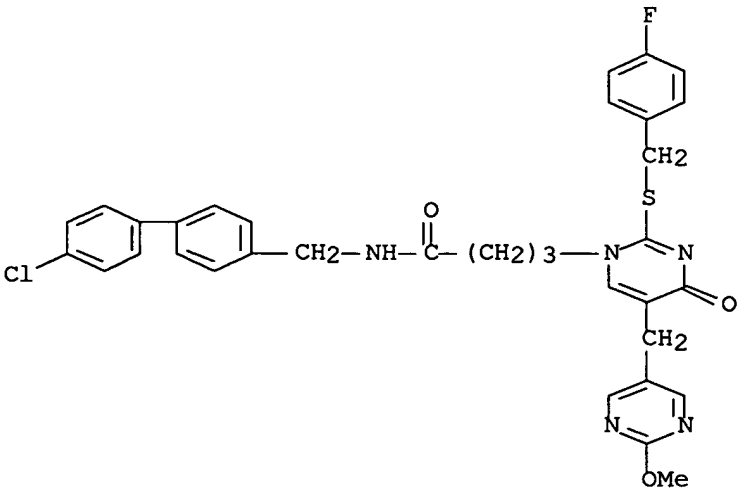
RN 405140-21-8 CAPLUS
CN 1(4H)-Pyrimidinebutanamide, N-[(4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-4-oxo- (9CI) (CA INDEX NAME)



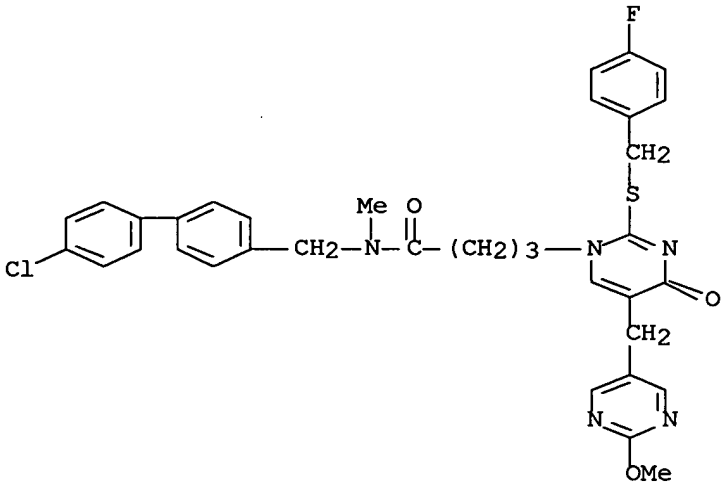
RN 405140-22-9 CAPLUS
CN 1(4H)-Pyrimidinebutanamide, N-[(4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-N-methyl-4-oxo- (9CI) (CA INDEX NAME)



RN 405140-23-0 CAPLUS
CN 1(4H)-Pyrimidinebutanamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

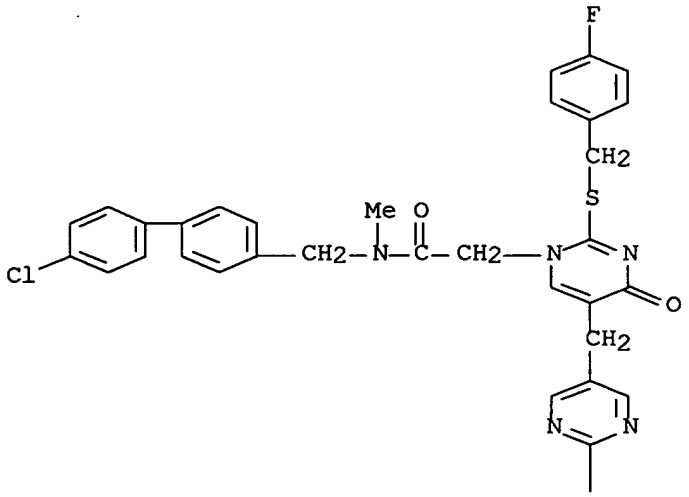


RN 405140-24-1 CAPLUS
CN 1(4H)-Pyrimidinebutanamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-N-methyl-4-oxo- (9CI) (CA INDEX NAME)



RN 405140-25-2 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-5-[[2-(dimethylamino)-5-pyrimidinyl]methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

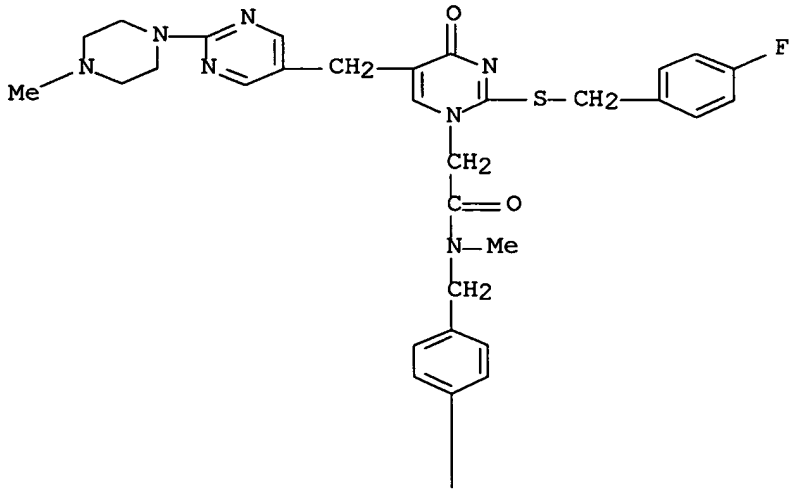


PAGE 2-A

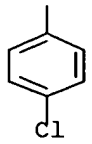
RN 405140-26-3 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[[2-(4-methyl-1-piperazinyl)-5-pyrimidinyl]methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

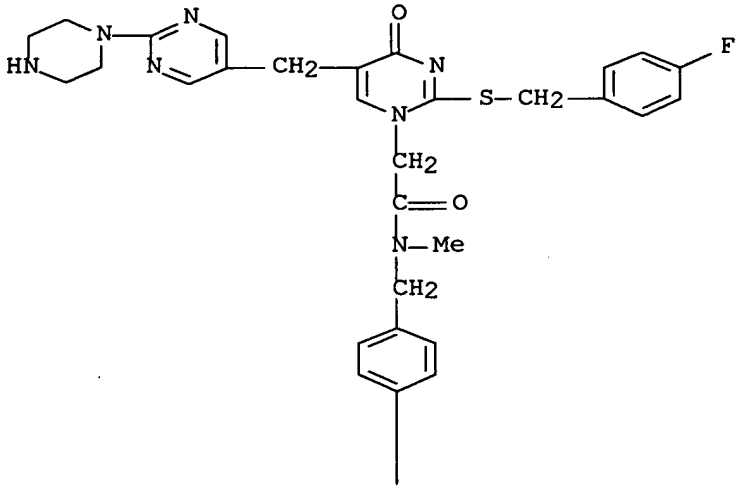


PAGE 2-A

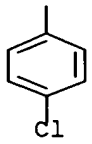


RN 405140-27-4 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-4-oxo-5-[[2-(1-piperazinyl)-5-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

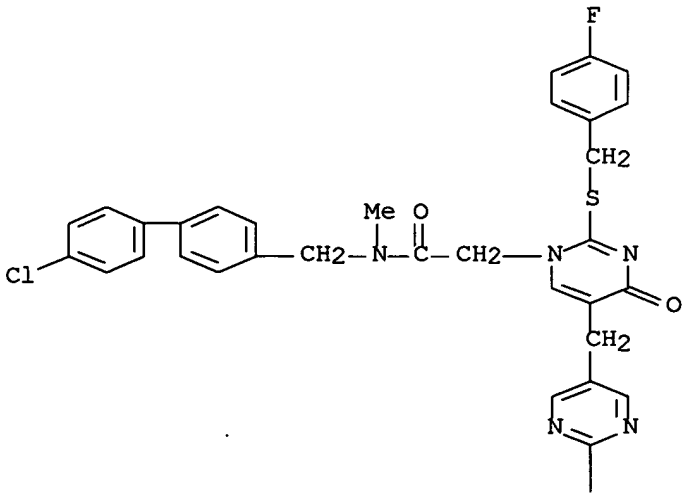


PAGE 2-A



RN 405140-28-5 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-4-oxo-5-[[2-(trifluoromethyl)-5-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

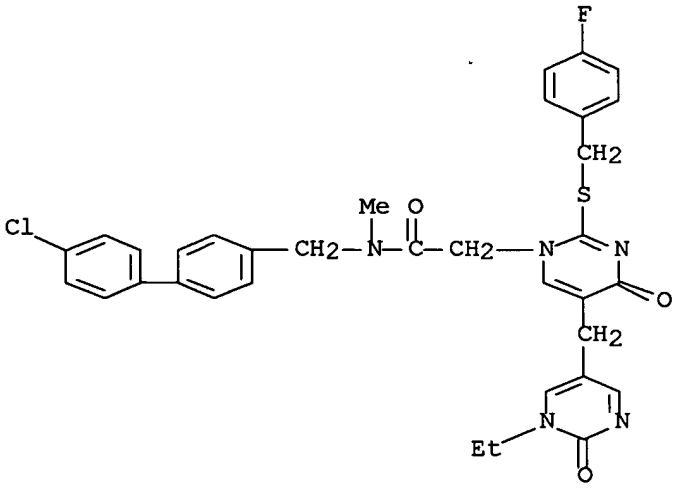
PAGE 1-A



PAGE 2-A

RN 405140-29-6 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-5-[(1-ethyl-1,2-dihydro-2-oxo-5-pyrimidinyl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-4-oxo- (9CI) (CA INDEX NAME)

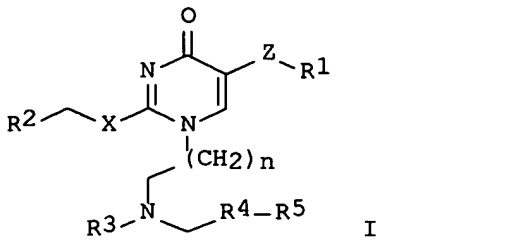


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

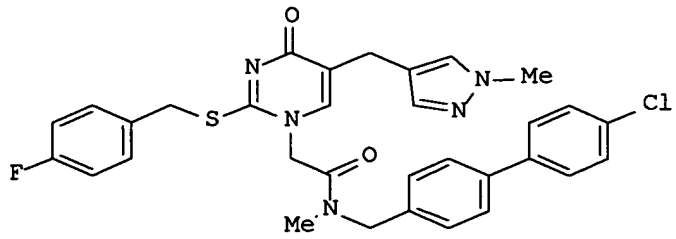
L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:790485 CAPLUS Full-text
DN 133:335244
TI Preparation of 1-acetamido-2-(arylalkylthio)-4-pyrimidinones as lipoprotein associated phospholipase A2 inhibitors
IN Fenwick, Ashley Edward; Hickey, Deirdre Mary Bernadette; Ife, Robert John; Leach, Colin Andrew; Pinto, Ivan Leo; Smith, Stephen Allan
PA SmithKline Beecham PLC, UK
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000066567	A1	20001109	WO 2000-EP3727	20000425
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2371671	AA	20001109	CA 2000-2371671	20000425
	EP 1175408	A1	20020130	EP 2000-920741	20000425
	EP 1175408	B1	20041201		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200103216	T2	20020422	TR 2001-200103216	20000425
	BR 2000010220	A	20020514	BR 2000-10220	20000425
	JP 2002543190	T2	20021217	JP 2000-615598	20000425
	AU 766003	B2	20031009	AU 2000-41203	20000425
	NZ 515137	A	20031031	NZ 2000-515137	20000425
	EP 1479671	A1	20041124	EP 2004-77397	20000425
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY				
	AT 283845	E	20041215	AT 2000-920741	20000425
	PT 1175408	T	20050429	PT 2000-920741	20000425
	ES 2233361	T3	20050616	ES 2000-920741	20000425
	NO 2001005329	A	20011031	NO 2001-5329	20011031
	ZA 2001008991	A	20021020	ZA 2001-8991	20011031
	US 6953803	B1	20051011	US 2002-30661	20020422
	HK 1044757	A1	20050708	HK 2002-104602	20020620
	US 2004167142	A1	20040826	US 2004-776876	20040211
PRAI	GB 1999-10048	A	19990501		
	GB 2000-2096	A	20000128		
	EP 2000-920741	A3	20000425		
	WO 2000-EP3727	W	20000425		
	US 2002-30661	A3	20020422		

OS MARPAT 133:335244
GI



I



II

AB The title compds. (I) [wherein R1, R2, and R4 = independently (un)substituted (hetero)aryl; R3 = H or (un)substituted alkyl; R5 = (un)substituted aryl; n = 1-4, preferably 1 or 3; X = O or S; Z = CR13R14; R13 and R14 = independently H or alkyl; or CR13R14 = cycloalkyl] were prepared as inhibitors of the phospholipase A2 enzyme Lp-PLA2 for the treatment of atherosclerosis. For example, II was formed by amidation of 1-(carboxymethyl)-2-(4-fluorobenzylthio)-5-((1-methylpyrazol-4- yl)methyl)pyrimidin-4-one with N-methyl-4-(4-chlorophenyl)benzylamine (preparation for both starting materials given). I inhibited recombinant Lp-PLA2 enzyme activity with IC50 values in the range of 0.001 to 0.00005 μM.

IT **304694-35-7P 304694-39-1P 304694-48-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 1-acetamido-2-(arylalkylthio)-4-pyrimidinone Lp-PLA2 inhibitors by amidation of 1-(carboxymethyl)-2-(arylalkylthio)-4-pyrimidinones with (hetero)arylalkylamines for the treatment of atherosclerosis)

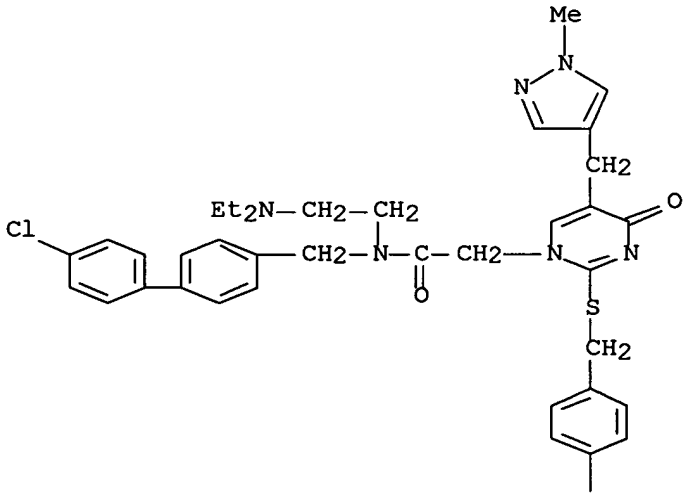
RN 304694-35-7 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 304694-31-3
CMF C37 H40 Cl F N6 O2 S

PAGE 1-A

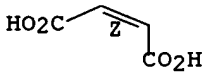


PAGE 2-A

CM 2

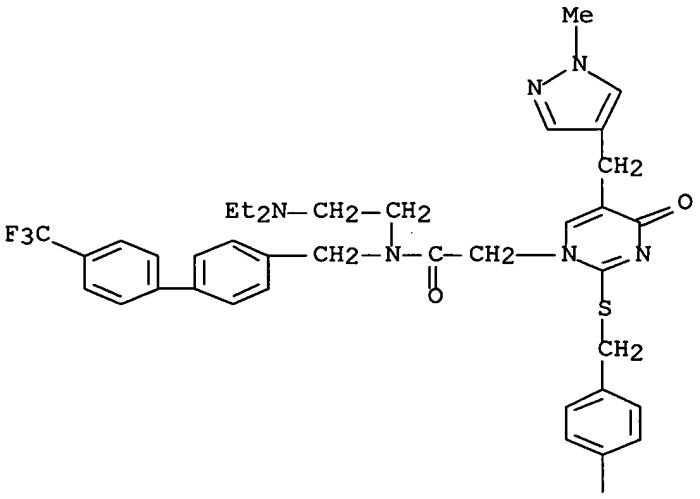
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 304694-39-1 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

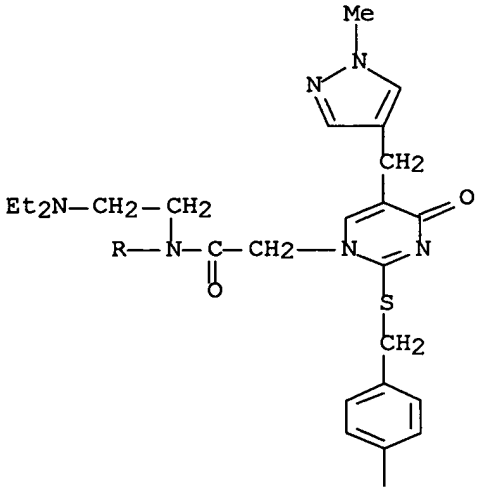


PAGE 2-A

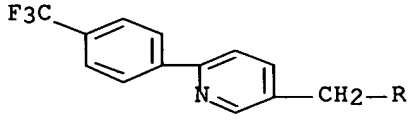
RN 304694-48-2 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

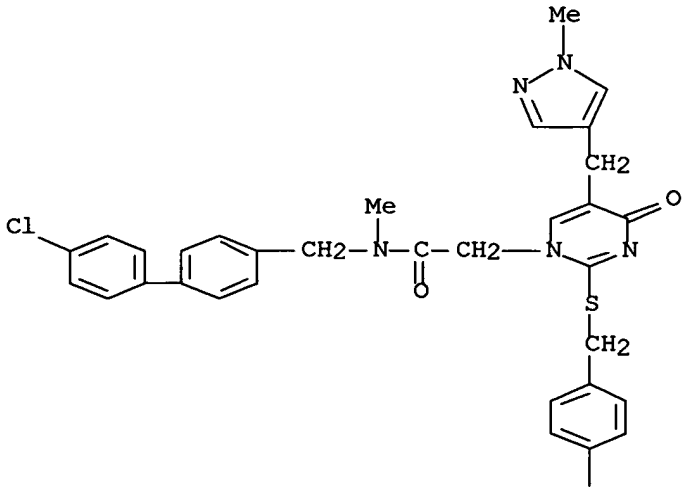


IT **304694-18-6P 304694-20-0P 304694-24-4P**
304694-26-6P 304694-29-9P 304694-31-3P
304694-32-4P 304694-33-5P 304694-36-8P
304694-37-9P 304694-41-5P 304694-43-7P
304694-45-9P 304694-52-8P 304694-53-9P
304694-56-2P 304694-60-8P 304694-64-2P
304694-68-6P 304694-69-7P 304694-71-1P
304695-43-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-acetamido-2-(arylalkylthio)-4-pyrimidinone Lp-PLA2 inhibitors by amidation of 1-(carboxymethyl)-2-(arylalkylthio)-4-pyrimidinones with (hetero)arylalkylamines for the treatment of atherosclerosis)

RN 304694-18-6 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

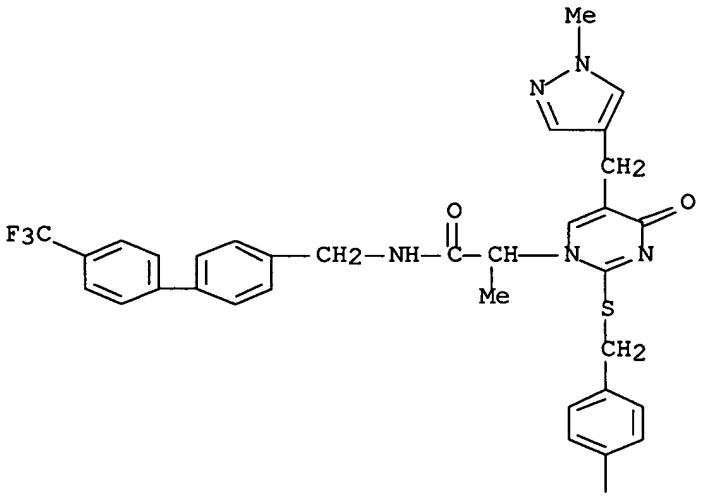


PAGE 2-A

RN 304694-20-0 CAPLUS

CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-α-methyl-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

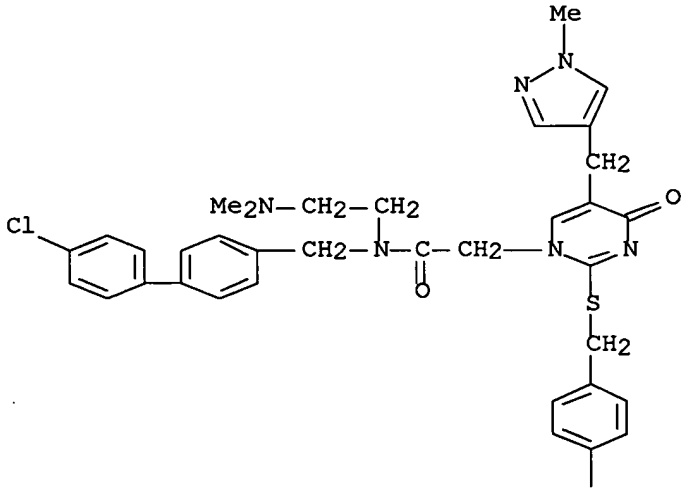


PAGE 2-A

RN 304694-24-4 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(dimethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



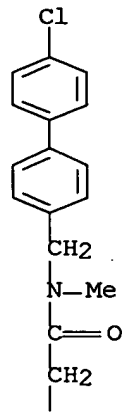
PAGE 2-A

F

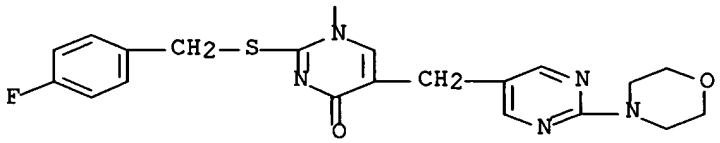
● HCl

RN 304694-26-6 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-5-[[2-(4-morpholinyl)-5-pyrimidinyl]methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

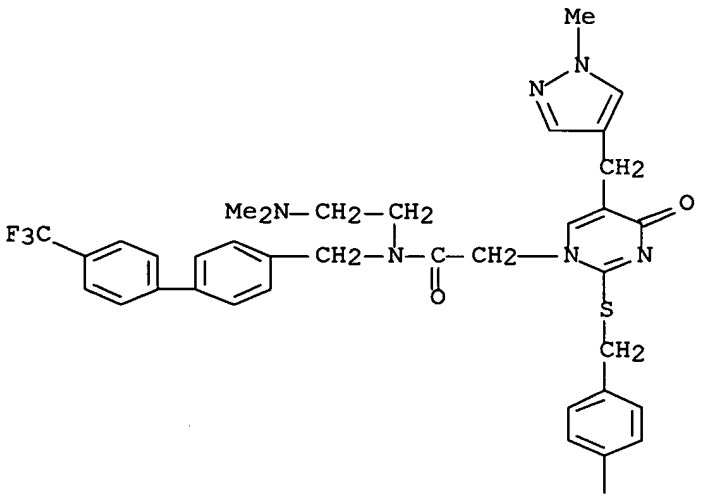


PAGE 2-A



RN 304694-29-9 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-(dimethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

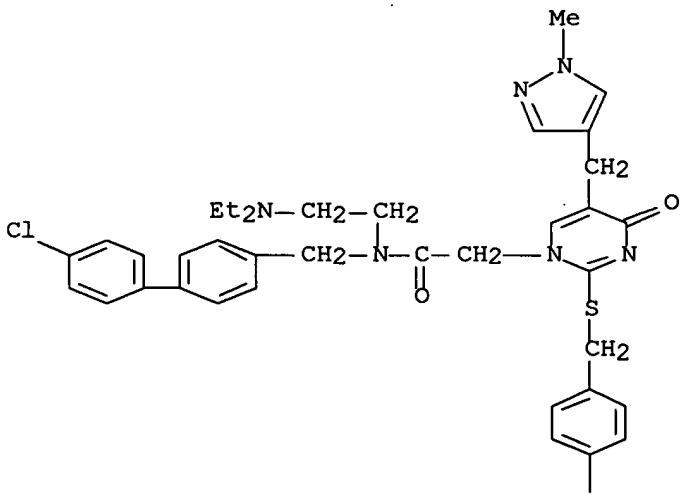


PAGE 2-A

● HCl

RN 304694-31-3 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

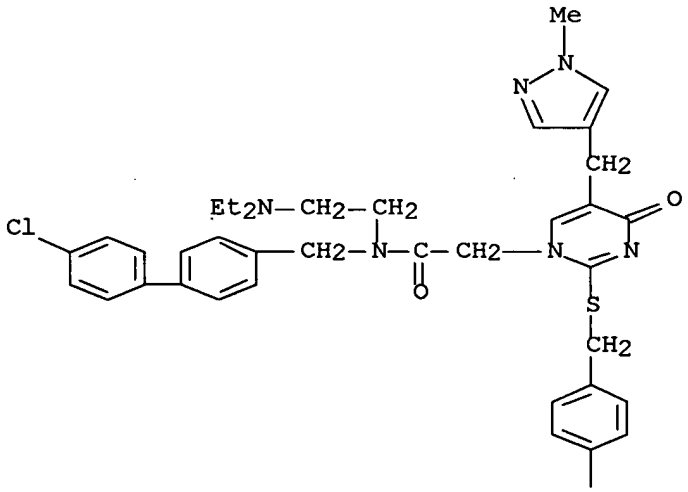


PAGE 2-A

F

RN 304694-32-4 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

F

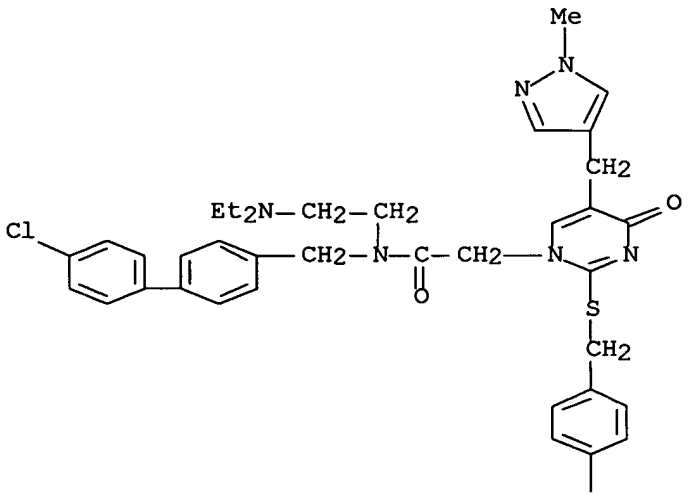
● HCl

RN 304694-33-5 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 304694-31-3
CMF C37 H40 Cl F N6 O2 S

PAGE 1-A

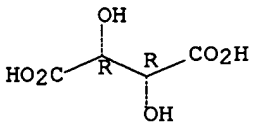


PAGE 2-A

CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

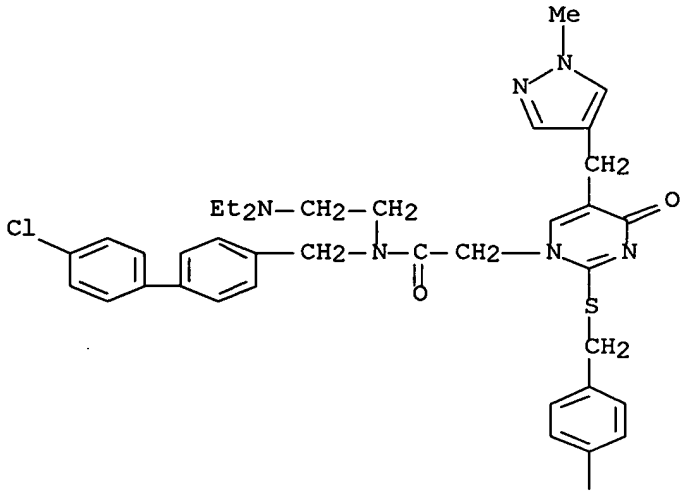


RN 304694-36-8 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 304694-31-3
CMF C37 H40 Cl F N6 O2 S

PAGE 1-A

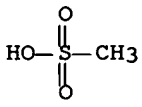


PAGE 2-A

F

CM 2

CRN 75-75-2
CMF C H4 O3 S

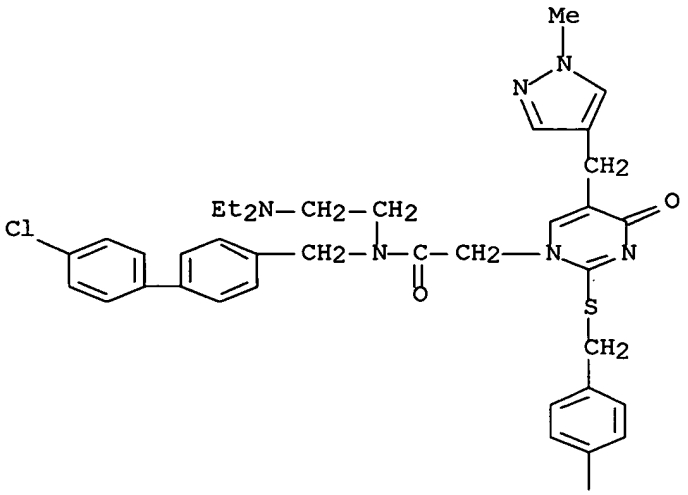


RN 304694-37-9 CAPLUS
CN Ethanesulfonic acid, 2-[[(3α,5β,7α,12α)-3,7,12-trihydroxy-24-oxocholan-24-yl]amino]-, compd. with N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-1(4H)-pyrimidineacetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 304694-31-3
CMF C37 H40 Cl F N6 O2 S

PAGE 1-A



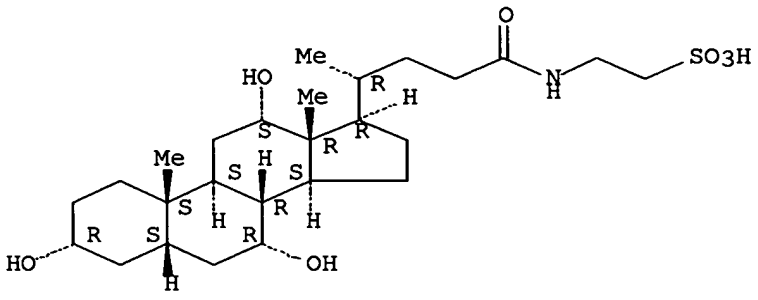
PAGE 2-A

F

CM 2

CRN 81-24-3
CMF C26 H45 N O7 S

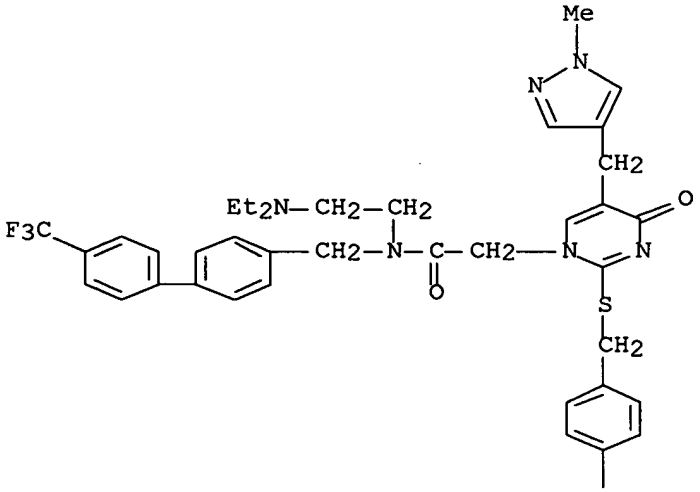
Absolute stereochemistry.



RN 304694-41-5 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-(diethylamino)ethyl]-2-[[(4-

fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

F

● HCl

RN 304694-43-7 CAPLUS

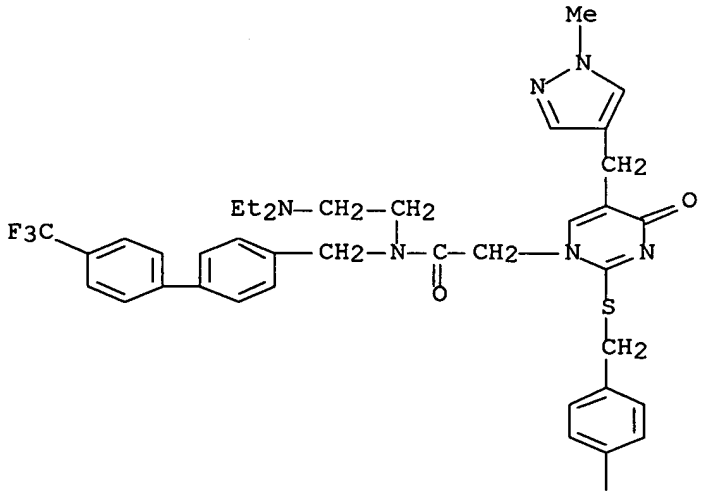
CN 1(4H)-Pyrimidineacetamide, N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 304694-39-1

CMF C38 H40 F4 N6 O2 S

PAGE 1-A

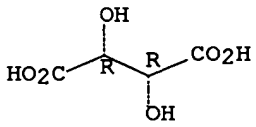


PAGE 2-A

CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

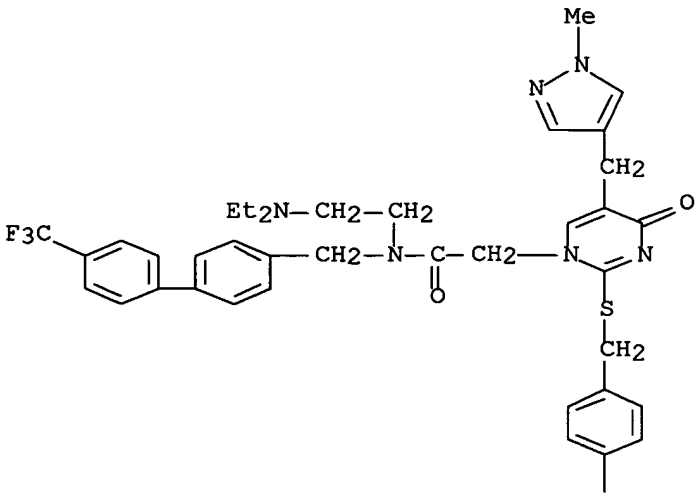


RN 304694-45-9 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 304694-39-1
CMF C38 H40 F4 N6 O2 S

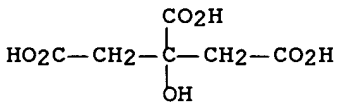
PAGE 1-A



PAGE 2-A

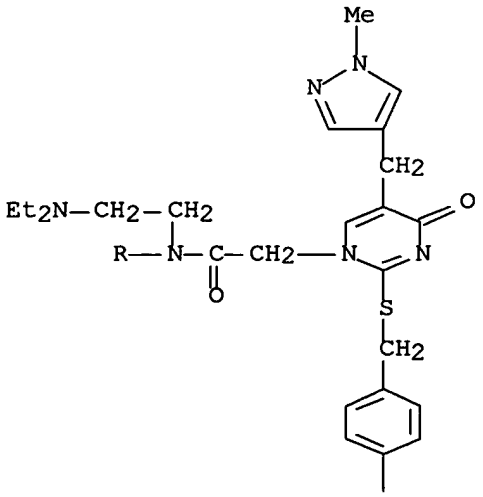
CM 2

CRN 77-92-9
CMF C6 H8 O7

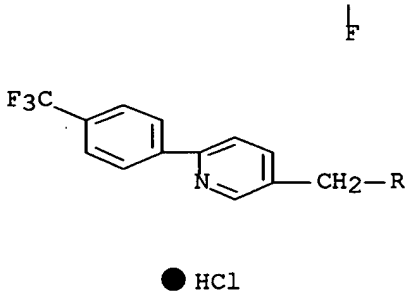


RN 304694-52-8 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RN 304694-53-9 CAPLUS

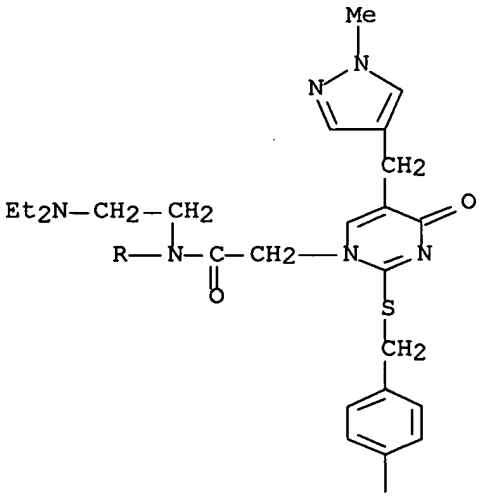
CN 1(4H)-Pyrimidineacetamide, N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

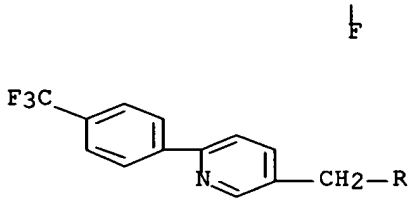
CRN 304694-48-2

CMF C37 H39 F4 N7 O2 S

PAGE 1-A



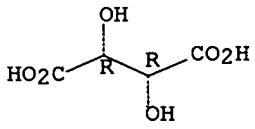
PAGE 2-A



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

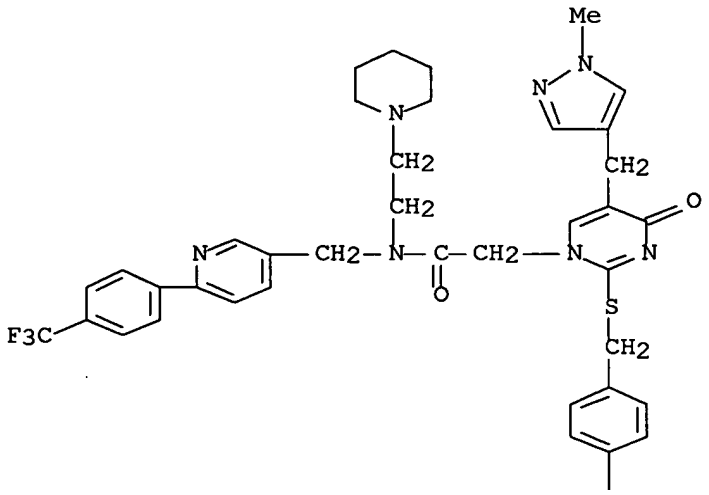


RN 304694-56-2 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[2-(1-piperidinyl)ethyl]-N-[[6-[4-(trifluoromethyl)phenyl]-3-pyridinyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 304694-55-1
CMF C38 H39 F4 N7 O2 S

PAGE 1-A



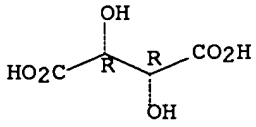
PAGE 2-A

F

CM 2

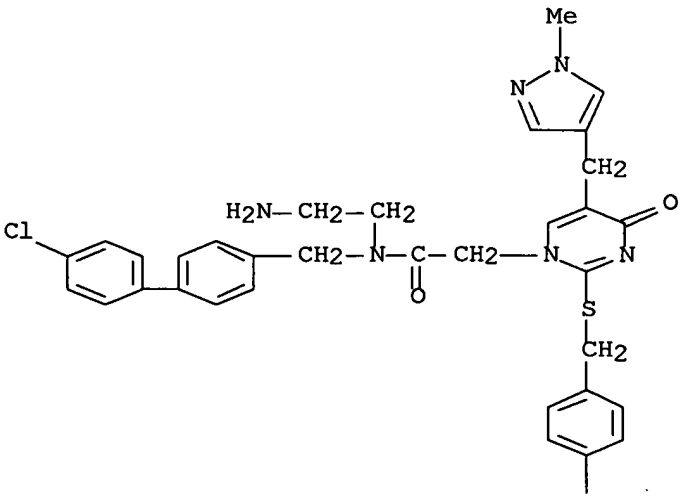
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



RN 304694-60-8 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-(2-aminoethyl)-N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

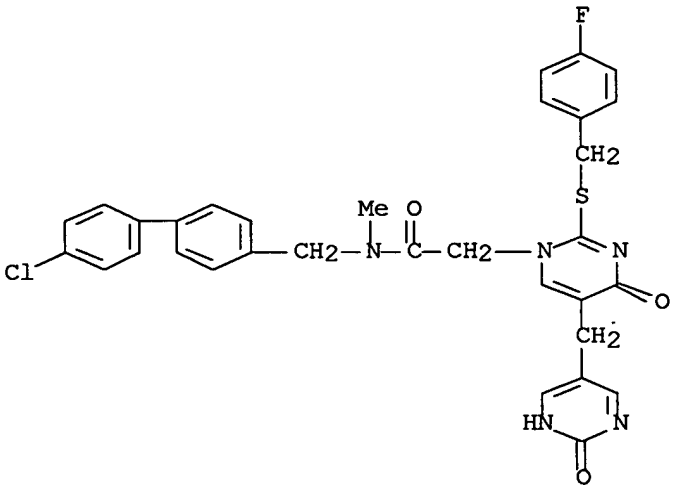


PAGE 2-A

● HCl

RN 304694-64-2 CAPLUS

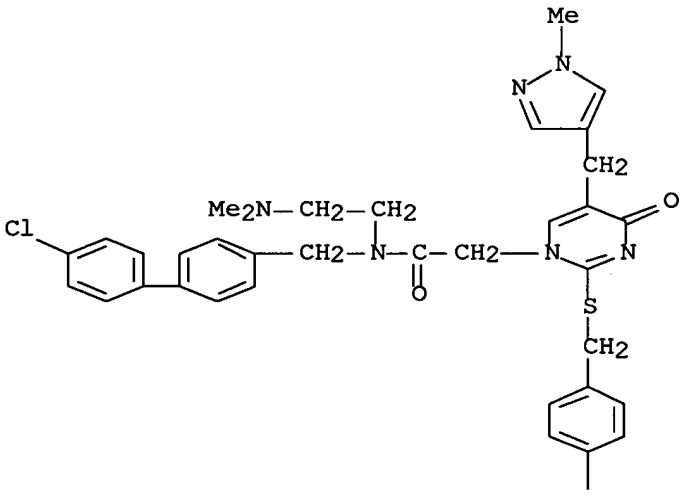
CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-5-[(1,2-dihydro-2-oxo-5-pyrimidinyl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-N-methyl-4-oxo- (9CI) (CA INDEX NAME)



RN 304694-68-6 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[2-(dimethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



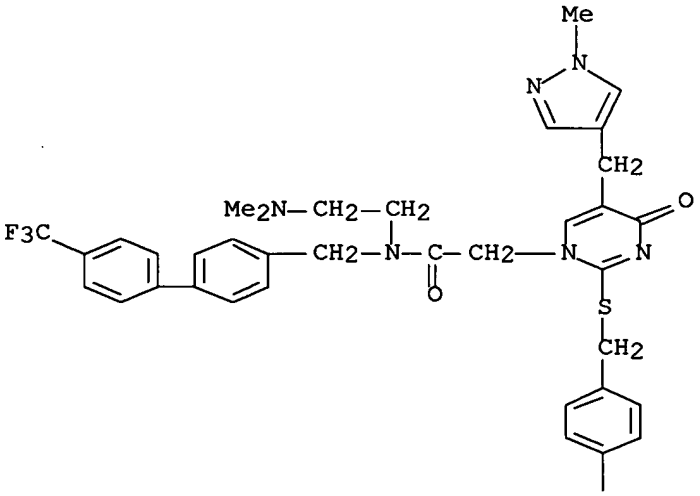
PAGE 2-A

F

RN 304694-69-7 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[2-(dimethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

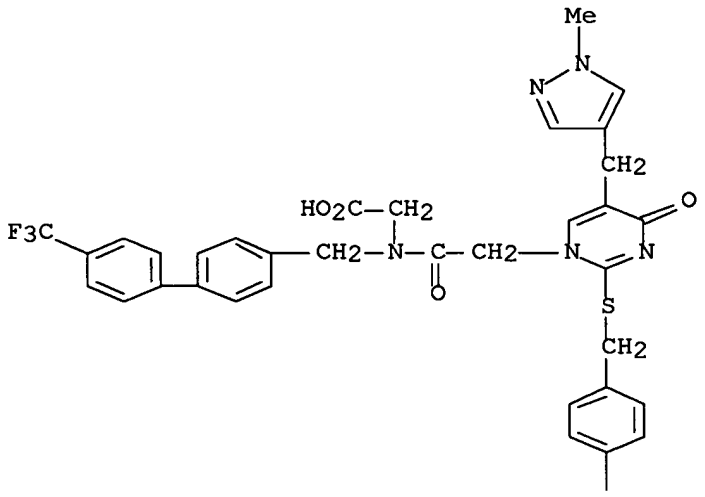


PAGE 2-A

RN 304694-71-1 CAPLUS

CN Glycine, N-[[2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-1(4H)-pyrimidinyl]acetyl]-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, sodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

F

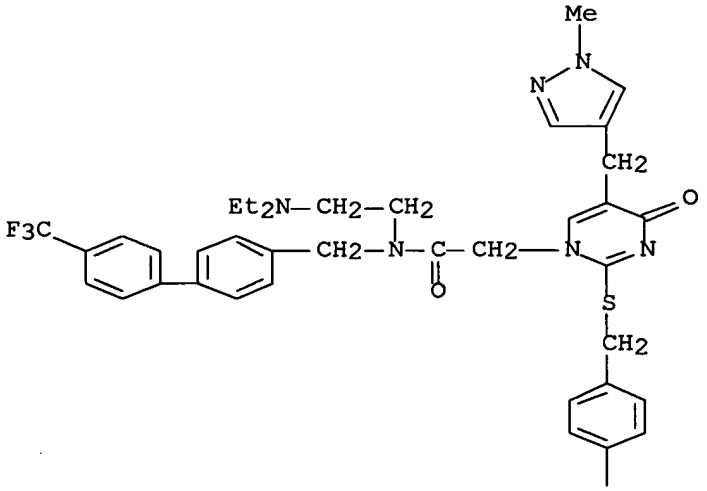
● Na

RN 304695-43-0 CAPLUS
CN 1(4H)-Pyrimidineacetamide, N-[2-(diethylamino)ethyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 304694-39-1
CMF C38 H40 F4 N6 O2 S

PAGE 1-A

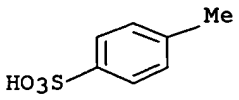


PAGE 2-A

F

CM 2

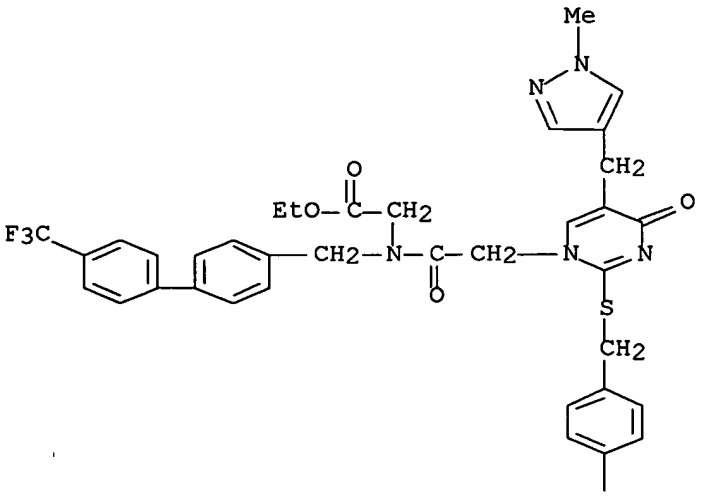
CRN 104-15-4
CMF C7 H8 O3 S



IT **304694-59-5 304694-66-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 1-acetamido-2-(arylalkylthio)-4-pyrimidinone Lp-PLA2 inhibitors by amidation of 1-(carboxymethyl)-2-(arylalkylthio)-4-pyrimidinones with (hetero)arylalkylamines for the treatment of atherosclerosis)
RN 304694-59-5 CAPLUS
CN Glycine, N-[[2-[[(4-fluorophenyl)methyl]thio]-5-[(1-methyl-1H-pyrazol-4-

yl)methyl]-4-oxo-1(4H)-pyrimidinyl]acetyl]-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

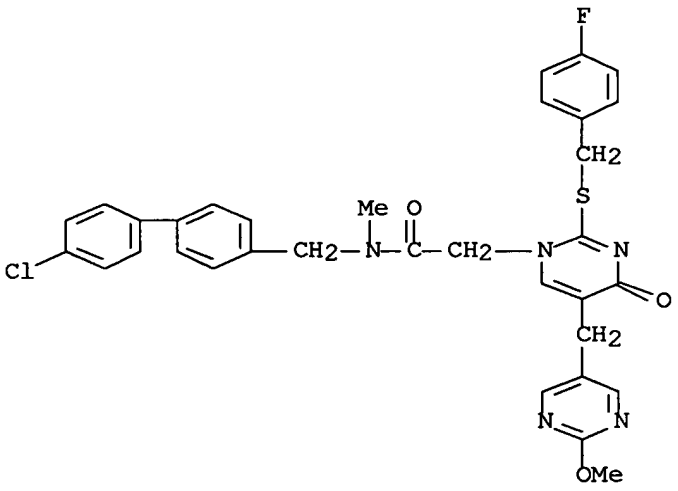


PAGE 2-A

F

RN 304694-66-4 CAPLUS

CN 1(4H)-Pyrimidineacetamide, N-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-2-[[(4-fluorophenyl)methyl]thio]-5-[(2-methoxy-5-pyrimidinyl)methyl]-N-methyl-4-oxo- (9CI) (CA INDEX NAME)

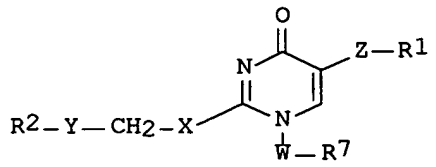


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

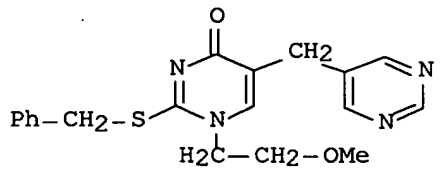
L5 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:325924 CAPLUS Full-text
DN 130:352278
TI Preparation of pyrimidinone derivatives as Lp-PLA2 inhibitors
IN Hickey, Deirdre Mary Bernadette; Ife, Robert John; Leach, Colin Andrew; Pinto, Ivan Leo; Porter, Roderick Alan; Smith, Stephen Allan
PA Smithkline Beecham PLC, UK
SO PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9924420	A1	19990520	WO 1998-EP6988	19981023
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2309177	AA	19990520	CA 1998-2309177	19981023
	AU 9911575	A1	19990531	AU 1999-11575	19981023
	EP 1028955	A1	20000823	EP 1998-954482	19981023
	EP 1028955	B1	20030716		
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SI				
	JP 2001522844	T2	20011120	JP 2000-520434	19981023
	ES 2203988	T3	20040416	ES 1998-954482	19981023
	ZA 9810112	A	20000505	ZA 1998-10112	19981105
	US 6417192	B1	20020709	US 2000-530713	20000628
	US 2002120139	A1	20020829	US 2002-115452	20020402
PRAI	GB 1997-23352	A	19971106		
	GB 1997-23358	A	19971106		
	WO 1998-EP6988	W	19981023		
	US 2000-530713	A3	20000628		

OS MARPAT 130:352278
GI



I



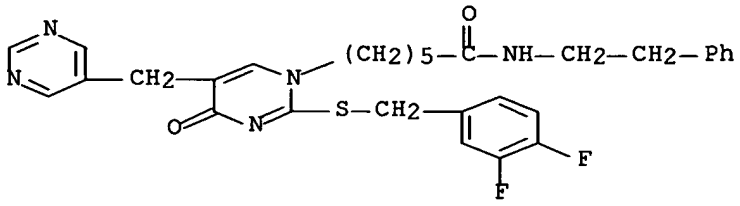
II

AB The title compds. I [Z is a bond and R1 is halo, or Z is CR3R4 wherein R3 and R4 are each hydrogen, alkyl, or CR3R4 = cycloalkyl ring; R1 is aryl or heteroaryl group optionally substituted by 1 - 4 substituents; X = O, S; Y is A1A2A3 in which A1 and A3 each represent a bond or alkylene, and A2 represents a bond or O, S, etc.; a proviso is given; R2 is aryl or heteroaryl group optionally substituted by 1 - 4 substituents; W is a bond and R7 is hydrogen; or W is SO2 or a bond; R7 is R1 or hydrocarbyl group (further detail on said

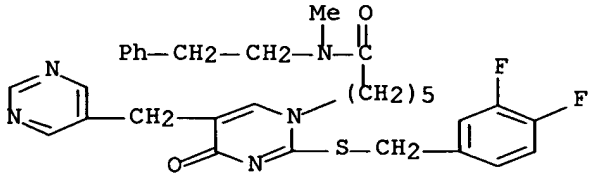
hydrocarbyl group is given)] are prepared I are useful in the treatment of atherosclerosis (no data). For example, pyrimidinone derivative II was prepared Compds. of this invention were found to have IC50 values in the range 0.0001 to 60 μM in the test for lipoprotein-associated phospholipase A2 (Lp-PLA2) inhibition.

IT **224774-36-1P 224774-37-2P 224774-60-1P**
224774-61-2P 224774-65-6P 224774-97-4P
224774-98-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinone derivs. as Lp-PLA2 inhibitors)

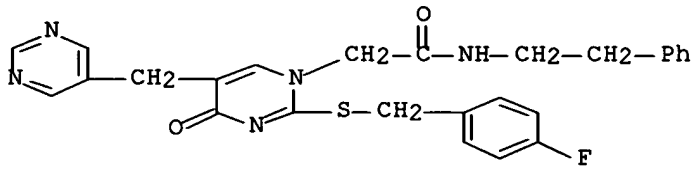
RN 224774-36-1 CAPLUS
CN 1(4H)-Pyrimidinehexanamide, 2-[[(3,4-difluorophenyl)methyl]thio]-4-oxo-N-(2-phenylethyl)-5-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



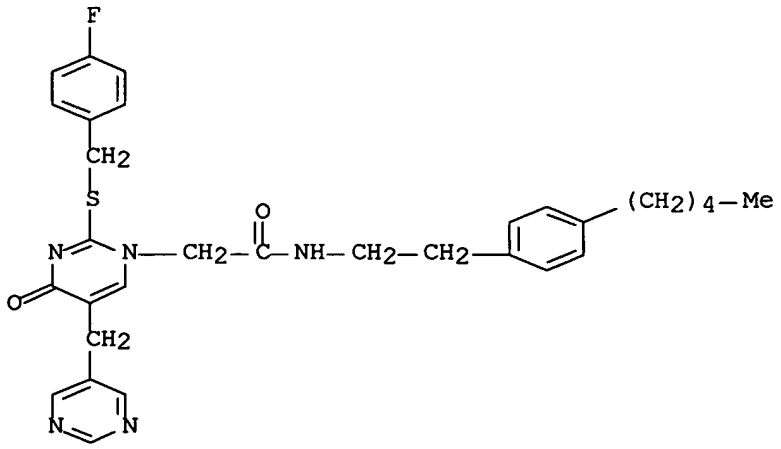
RN 224774-37-2 CAPLUS
CN 1(4H)-Pyrimidinehexanamide, 2-[[(3,4-difluorophenyl)methyl]thio]-N-methyl-4-oxo-N-(2-phenylethyl)-5-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



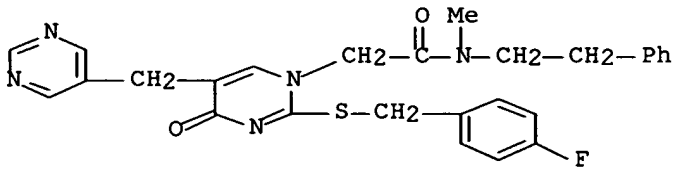
RN 224774-60-1 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-4-oxo-N-(2-phenylethyl)-5-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



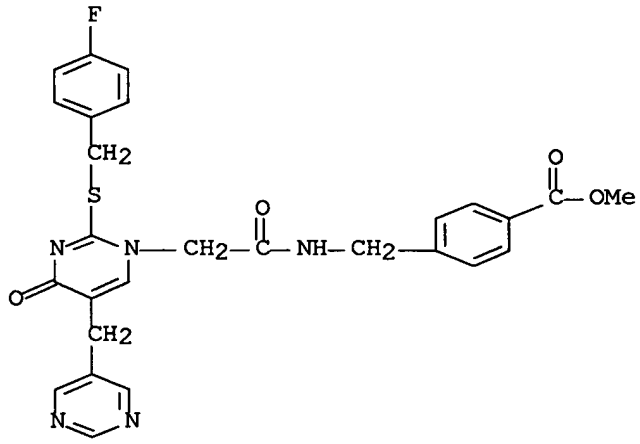
RN 224774-61-2 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-4-oxo-N-[2-(4-pentylphenyl)ethyl]-5-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



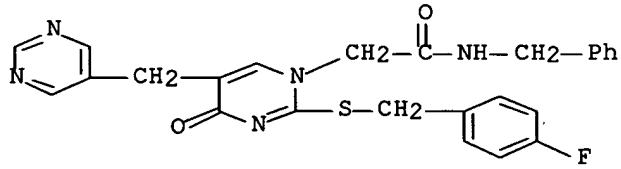
RN 224774-65-6 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-N-methyl-4-oxo-N-(2-phenylethyl)-5-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



RN 224774-97-4 CAPLUS
CN Benzoic acid, 4-[[[[2-[[(4-fluorophenyl)methyl]thio]-4-oxo-5-(5-pyrimidinylmethyl)-1(4H)-pyrimidinyl]acetyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

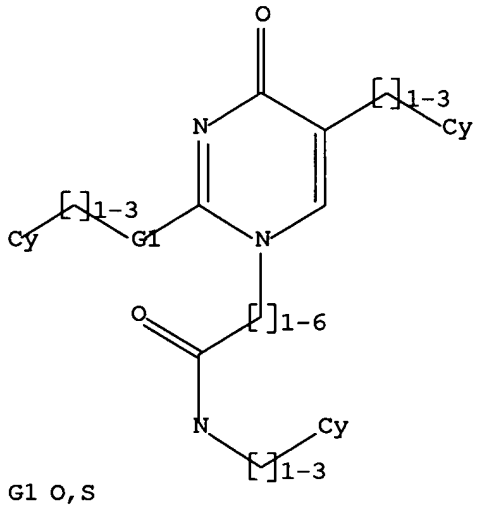


RN 224774-98-5 CAPLUS
CN 1(4H)-Pyrimidineacetamide, 2-[[(4-fluorophenyl)methyl]thio]-4-oxo-N-(phenylmethyl)-5-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> d l2; d his; log y
L2 HAS NO ANSWERS
L1              STR
```




```
G1 O,S
Structure attributes must be viewed using STN Express query preparation.
L2              QUE  ABB=ON  PLU=ON  L1

     (FILE 'HOME' ENTERED AT 14:50:29 ON 21 APR 2006)

     FILE 'REGISTRY' ENTERED AT 14:50:42 ON 21 APR 2006
L1              STRUCTURE UPLOADED
L2              QUE L1
L3            2 S L2
L4          101 S L2 FUL

     FILE 'CAPLUS' ENTERED AT 14:51:21 ON 21 APR 2006
L5            7 S L4


COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 36.23     203.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                 -5.25      -5.25

STN INTERNATIONAL LOGOFF AT 14:52:09 ON 21 APR 2006
```